WHAT IS CLAIMED IS:

1. A method for inhibiting β -amyloid peptide release and/or its synthesis in a cell which method comprises administering to such a cell an amount of a compound or a mixture of compounds effective in inhibiting the cellular release and/or synthesis of β -amyloid peptide wherein said compounds are represented by formula I:

$$R^{1}$$
 X
 NH
 Y
 $C(H)_{p}$
 X

Ι

wherein R¹ is selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, substituted cycloalkyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

W, together with $-C(H)_pC(=X)$ -, forms a cycloalkyl, cycloalkenyl, heterocyclic, substituted cycloalkyl, or substituted cycloalkenyl group wherein each of said cycloalkyl, cycloalkenyl, heterocyclic, substituted cycloalkyl or substituted cycloalkenyl group is optionally fused to form a bi- or multi-fused ring system (preferably no more than 5 fused rings) with one or more ring structures selected from the group consisting of cycloalkyl, cycloalkenyl, heterocyclic, aryl and heteroaryl group which, in turn, each of such ring structures are optionally substituted with 1 to 4 substituents selected from the group consisting of hydroxyl, halo, alkoxy, substituted alkoxy, thioalkoxy, substituted thioalkoxy, nitro, cyano, carboxyl, carboxyl esters, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, amino, N-

10

Total from

الممند

5

15

20

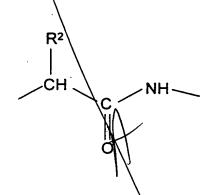
25

30

alkylamino, N,N-dialkylamino, N-substituted alkylamino, N-alkyl N-substituted alkylamino, N,N-disubstituted alkylamino, -NHC(O)R⁴, -NHSO₂R⁴, -C(O)NH₂, -C(O)NHR⁴, -C(O)NR⁴R⁴, -S(O)₂R⁴, -S(O)₂R⁴, -S(O)₂NHR⁴ and -S(O)₂NR⁴R⁴ where each R⁴ is independently selected from the group consisting of alkyl, substituted alkyl, or aryl;

X is selected from the group consisting of oxo (=0), thiooxo (=S), hydroxyl (-H, -OH), thiol (H,-SH) and hydro (H,H);

Y is represented by the formula:



wherein each R² is independently selected from the group consisting of alkyl, substituted alkyl, alkenyl, substituted alkynyl, substituted alkynyl, substituted alkynyl, cycloalkyl, aryl, heteroaryl and heterocyclic;

Z is represented by the formula -T-CX'X"C(0)- where T is selected from the group consisting of a bond covalently linking R¹ to -CX'X"-, oxygen, sulfur, -NR⁵ where R⁵ is hydrogen, acyl, alkyl, aryl or heteroaryl group;

X' is hydrogen, hydroxy or fluoro,

X'' is hydrogen, hydroxy or fluoro, or X' and X'' together form an oxo group;

m is an integer equal to 0 or 1;

n is an integer equal to 0, 1 or 2;

p is an integer equal to 0 or 1 such that when p is zero, the ring defined by W and $-C(H)_pC(=X)$ - is unsaturated at the carbon atom of ring attachment to Y

20

5

10

15

25

and when p is one, the ring is saturated at the carbon atom of ring attachment to Y,

with the following provisos:

A. when R^1 is 3,5-diffuorophenyl, R^2 is -CH₃, Z is -CH₂C(O)-, m is 1, n is 1, and p is 1, then W, together with >CH and >C=X, does not form a 2-(S)-indanol group;

B. when R^1 is phenyl, R^2 is $-CH_3$, Z is $-CH_2C(O)$ -, m is 1, n is 1, and p is 1, then W, together with > CH and > C = X, does not form a trans-2-hydroxy-cyclohex-1-yl group;

C. when R^1 is phenyl, Z is $-CH_2C(O)$ -, m is 1, n is 0, and p is 1, then W, together with > CH and > C=X, does not form a gammabutyrolactone group or a 5,5-dimethyl-gammabutyrolactone group;

D. when R^1 is phenyl, Z is $-CH_2C(O)$ -, m is 1, n is 0, and p is 1, then W, together with >CH and >C=X, does not form a ϵ -caprolactam group;

E. when R^1 is cyclopropyl, R^2 is $-CH_3$, Z is $-CH_2C(O)$ -, m is 1, n is 1, and p is 1, then W, together with A and A and A compared to A comp

F. when R^1 is 4-chlorobenzoyl CH_2 -, R^2 is $-CH_3$, Z is $-CH_2C(O)$ -, m is 1, n is 1, and p is 1, then W, together with >CH and >C=X, does not form an 2,3-dihydro-1-methyl-5-phenyl-1 H_7 1,4-benzodiazepin-2-one;

G. when R^1 is 2-phenylphenyl, R^2 is $-CH_3$, Z is $-CH_2C(O)$ -, m is 1, n is 1, and p is 1, then W, together with >CH and >C=X, does not form an 7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one.

H. when R^1 is $CH_3OC(O)CH_2$ -, R^2 is $-CH_3$, Z is $-CH_2C(O)$ -, m is 1, n is 1, and p is 1, then W, together with > CH and > C = X, does not form an 2,3-dihydro-1-(t-butylC(O)CH₂-)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;

I. when R^1 is 4-ethoxyphenyl, 2,4,6-trimethylphenyl, 4-phenylphenyl, $CH_3OC(O)CH_2$ -, 4-HOCH₂-phenyl, 2,4,6-trifluorophenyl, 2-trifluoromethyl-4-fluorophenyl, or CH_3S -, R^2 is $-CH_3$, Z is $-CH_2C(O)$ -, m is 1, n is 1, and p is 1, then W, together with >CH and >C=X, does not form a 2,3-dihydro-1-(N,N-diethylamino- CH_2CH^2 -)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;

10

5

15

20

25

10

15

20

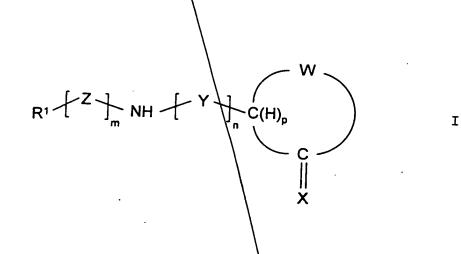
J. when R^1 is 2,6-difluorophenyl, R^2 is -CH₃, Z is -CH(OH)C(O)-, m is 1, n is 1 and p is 1, then W, together with >CH and >C=X, does not form a 2,3-dihydro-1-(N,N-diethylamino-CH₂CH²-)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one,

K. when m is 1 and n is 1, then

-C(H)_p C

does not equal cycloalkyl of from 3 to 8 carbon atoms optionally substituted with 1 to 3 alkyl groups.

2. A method for preventing the onset of AD in a human patient at risk for developing AD which method comprises administering to said patient a pharmaceutical composition comprising a pharmaceutically inert carrier and an effective amount of a compound or a mixture of compounds of formula I:



30

25

35

10

15

wherein R¹ is selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkyl, substituted alkyl, substituted alkenyl, substituted alkynyl, substituted cycloalkyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

W, together with $-C(H)_nC(=X)$ -, forms a cycloalkyl, cycloalkenyl, heterocyclic, substituted cycloalkyl, or substituted cycloalkenyl group wherein each of said cycloalkyl, cycloalkenyl, heterocyclic, substituted cycloalkyl or substituted cycloalkenyl group is optionally fused to form a bi- or multi-fused ring system (preferably no more than 5 fused rings) with one or more ring structures selected from the group consisting of cycloalkyl, cycloalkenyl, heterocyclic, aryl and heteroaryl group which, in turn, each of such ring structures are optionally substituted with 1 to 4 substituents selected from the group consisting of hydroxyl, halo, alkoxy, substituted alkoxy, thioalkoxy, substituted thioalkoxy,\nitro, cyano, carboxyl, carboxyl esters, alkyl, substituted alkyl, alkenyl, substituted alkynyl, amino, Nalkylamino, N,N-dialkylamino, N-substituted alkylamino, N-alkyl N-substituted alkylamino, N,N-disubstituted alkylamino, -NHC(O)R⁴, -NHSO₂R⁴, -C(O)NH₂, $-C(O)NHR^4$, $-C(O)NR^4R^4$, $-\$(O)R^4$, $-S(O)_2R^4$, $-S(O)_2NHR^4$ and $-S(O)_2NR^4R^4$ where each R⁴ is independently selected from the group consisting of alkyl, substituted alkyl, or aryl;

X is selected from the group consisting of oxo (=O), thiooxo (=S), hydroxyl (-H, -OH), thiol (H,-SH) and hydro (H,H);

Y is represented by the formula:

30

wherein each R² is independently selected from the group consisting of alkyl, substituted alkyl, alkenyl, substituted alkynyl, substituted alkynyl, cycloalkyl, aryl, heteroaryl and heterocyclic;

Z is represented by the formula -T-CX'X"C(0)- where T is selected from the group consisting of a bond covalently linking R¹ to -CX'X"-, oxygen, sulfur, -NR⁵ where R⁵ is hydrogen, acyl, alkyl, aryl or heteroaryl group;

X' is hydrogen, hydroxy or fluoro,

X'' is hydrogen, hydroxy or fluoro, or X' and X'' together form an oxo group;

10

THE CONTROL OF THE PROPERTY OF

5

m is an integer equal to 0 or 1;

n is an integer equal to 0, 1 or 2;

p is an integer equal to 0 or 1 such that when p is zero, the ring defined by W and $-C(H)_pC(=X)$ - is unsaturated at the carbon atom of ring attachment to Y and when p is one, the ring is saturated at the carbon atom of ring attachment to Y,

15

25

30

with the following provisos:

A. when R^1 is 3,5-diffuor phenyl, R^2 is -CH₃, Z is -CH₂C(O)-, m is 1, n is 1, and p is 1, then W, together with >CH and >C=X, does not form a 2-(S)-indanol group;

B. when R¹ is phenyl, R² is -CH₃, Z is -CH₂C(O)-, m is 1, n is 1, and p is 1, then W, together with >CH and >C=X, does not form a trans-2-hydroxy-cyclohex-1-yl group;

C. when R^1 is phenyl, Z is $-CH_2C(O)$ \downarrow m is 1, n is 0, and p is 1, then W, together with >CH and >C=X, does not form a gammabutyrolactone group or a 5,5-dimethyl-gammabutyrolactone group;

D. when R^1 is phenyl, Z is $-CH_2C(O)$ -, m is 1, n is 0, and p is 1, then W, together with >CH and >C=X, does not form a ϵ -caprolactam group;

E. when R^1 is cyclopropyl, R^2 is $-CH_3$, Z is $-CH_2C(O)$ -, m is 1, n is 1, and p is 1, then W, together with >CH and >C=X, does not form an N-methylcaprolactam group:

;:

F. when R^1 is 4-chlorobenzoyl- CH_2 -, R^2 is - CH_3 , Z is - $CH_2C(O)$ -, m is 1, n is 1, and p is 1, then W, together with >CH and >C=X, does not form an 2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one;

G. when R^1 is 2-phenylphenyl, R^2 is $-CH_3$, Z is $-CH_2C(O)$ -, m is 1, n is 1, and p is 1, then W, together with >-CH and >C=X, does not form an 7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one;

H. when R^1 is $CH_3OC(O)CH_2$ -, R^2 is $-CH_3$, Z is $-CH_2C(O)$ -, m is 1, n is 1, and p is 1, then W, together with >CH and >C=X, does not form an 2,3-dihydro-1-(t-butylC(O)CH₂-)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;

I. when R^1 is 4-ethoxyphenyl, 2,4,6-trimethylphenyl, 4-phenylphenyl, $CH_3OC(O)CH_2$ -, 4-HOCH₂-phenyl, 2,4,6-trifluorophenyl, 2-trifluoromethyl-4-fluorophenyl, or CH_3S -, R^2 is $-CH_3$, Z is $-CH_2C(O)$ -, m is 1, n is 1, and p is 1, then W, together with >CH and >C=X, does not form a 2,3-dihydro-1-(N,N-d) diethylamino- CH_2CH^2 -)-5-(2-p)ridyl)-1H-1,4-benzodiazepin-2-one;

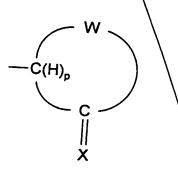
J. when R^1 is 2,6-difluorophenyl, R^2 is -CH₃, Z is -CH(OH)C(O)-, m is 1, n is 1, and p is 1, then W together with >CH and >C=X, does not form a 2,3-dihydro-1-(N,N-diethylamino-CH₂CH²-)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one,

K. when m is 1 and n is 1, then

20

5

10



30

35

25

does not equal cycloalkyl of from 3 to 8 carbon atoms optionally substituted with 1 to 3 alkyl groups.

;;

5

10

15

20

25

30

35

3. A method for treating a human patient with AD in order to inhibit further deterioration in the condition of that patient which method comprises administering to said patient a pharmaceutical composition comprising a pharmaceutically inert carrier and an effective amount of a compound or a mixture of compounds of formula I:

$$R^{1} \stackrel{Z}{\longleftarrow} NH \stackrel{Y}{\longleftarrow} C(H)_{p}$$

Ι

wherein R¹ is selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, substituted cycloalkyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

W, together with $-C(H)_pC(=X)$ -, forms a cycloalkyl, cycloalkenyl, heterocyclic, substituted cycloalkyl, or substituted cycloalkenyl group wherein each of said cycloalkyl, cycloalkenyl, heterocyclic, substituted cycloalkyl or substituted cycloalkenyl group is optionally fused to form a bi- or multi-fused ring system (preferably no more than 5 fused rings) with one or more ring structures selected from the group consisting of cycloalkyl, cycloalkenyl, heterocyclic, aryl and heteroaryl group which, in turn, each of such ring structures are optionally substituted with 1 to 4 substitutents selected from the group consisting of hydroxyl, halo, alkoxy, substituted alkoxy, thioalkoxy, substituted thioalkoxy, nitro, cyano, carboxyl, carboxyl esters, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, amino, N-

alkylamino, N,N-dialkylamino, N-substituted alkylamino, N-alkyl N-substituted alkylamino, N,N-disubstituted alkylamino, -NHC(O)R⁴, -NHSO₂R⁴, -C(O)NH₂, -C(O)NHR⁴, -C(O)NR⁴R⁴, -S(O)₂R⁴, -S(O)₂R⁴, -S(O)₂NHR⁴ and -S(O)₂NR⁴R⁴ where each R⁴ is independently selected from the group consisting of alkyl, substituted alkyl, or aryl;

X is selected from the group consisting of oxo (=0), thiooxo (=S), hydroxyl (-H, -OH), thiol (H,-SH) and hydro (H,H);

Y is represented by the formula:

10

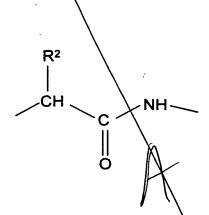
5

15

20

25

30



wherein each R² is independently selected from the group consisting of alkyl, substituted alkyl, alkenyl, substituted alkynyl, substituted alkynyl, cycloalkyl, aryl, heteroaryl and heterocyclic;

Z is represented by the formula -T-CX'X"C(0)- where T is selected from the group consisting of a bond covalently linking R¹ to -CX'X"-, oxygen, sulfur, -NR⁵ where R⁵ is hydrogen, acyl, alkyl, aryl or heteroaryl group;

X' is hydrogen, hydroxy or fluoro,

X" is hydrogen, hydroxy or fluoro, or X' and X" together form an oxo group;

m is an integer equal to 0 or 1;

n is an integer equal to 0, 1 or 2;

p is an integer equal to 0 or 1 such that when p is zero, the ring defined by W and $-C(H)_pC(=X)$ - is unsaturated at the carbon atom of ring attachment to Y

10

15

20

25

30

and when p is one, the ring is saturated at the carbon atom of ring attachment to Y,

with the following provisos:

- A. when R^1 is 3,5-difluorophenyl, R^2 is -CH₃, Z is -CH₂C(O)-, m is 1, n is 1, and p is 1, then W, together with >CH and >C=X, does not form a 2-(S)-indanol group;
- B. when R^1 is phenyl, R^2 is $-CH_3$, Z is $-CH_2C(O)$ -, m is 1, n is 1, and p is 1, then W, together with > CH and > C = X, does not form a trans-2-hydroxy-cyclohex-1-yl group;
- C. when R^1 is phenyl, Z is $-CH_2C(O)$ -, m is 1, n is 0, and p is 1, then W, together with >CH and >C=X, does not form a gammabutyrolactone group or a 5,5-dimethyl-gammabutyrolactone group;
- D. when R^1 is phenyl, Z is $-CH_2C(O)$ -, m is 1, n is 0, and p is 1, then W, together with >CH and >C=X, does not form a ϵ -caprolactam group;
- E. when R^1 is cyclopropyl, R^2 is $-CH_3$, Z is $-CH_2C(O)$ -, m is 1, n is 1, and p is 1, then W, together with > CH and > C = X, does not form an N-methylcaprolactam group;
- F. when R^1 is 4-chlorobenzoyl- CH_2 -, R^2 is - CH_3 , Z is - $CH_2C(O)$ -, m is 1, n is 1, and p is 1, then W, together with >CH and >C=X, does not form an 2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one;
- G. when R^1 is 2-phenylphenyl, R^2 is $-CH_3$, Z is $-CH_2C(O)$ -, m is 1, n is 1, and p is 1, then W, together with >CH and >C=X, does not form an 7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6, one;
- H. when R^1 is $CH_3OC(O)CH_2$ -, R^2 is $-CH_3$, Z is $-CH_2C(O)$ -, m is 1, n is 1, and p is 1, then W, together with >CH and >C=X, does not form an 2,3-dihydro-1-(t-butylC(O)CH₂-)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;
 - I. when R^1 is 4-ethoxyphenyl, 2,4,6-trimethylphenyl, 4-phenylphenyl, $CH_3OC(O)CH_2$ -, 4-HOCH₂-phenyl, 2,4,6-trifluorophenyl, 2-trifluoromethyl-4-fluorophenyl, or CH_3S -, R^2 is $-CH_3$, Z is $-CH_2C(O)$ -, m is 1, n is 1, and p is 1, then W, together with >CH and >C=X, does not form a 2,3-dihydro-1-(N,N-diethylamino- CH_2CH^2 -)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;

10

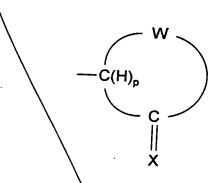
15

20

35

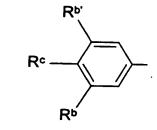
J. when R^1 is 2,6-difluorophenyl, R^2 is -CH₃, Z is -CH(OH)C(O)-, m is 1, m is 1, and p is 1, then W, together with >CH and >C=X, does not form a 2,3-dihydro-1-(N,N-diethylamino-CH₂CH²-)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one,

K. \setminus when m is 1 and n is 1, then



does not equal cycloalkyl of from 3 to 8 carbon atoms optionally substituted with 1 to 3 alkyl groups.

- 4. A method according to any of Claims 1, 2 or 3 where, in formula I, m is zero.
- 25 5. A method according to Claim 4 wherein R¹ is aryl or heteroaryl.
 - 6. A method according to Claim wherein R¹ is selected from the group consisting of
 - (a) phenyl,
- 30 (b) a substituted phenyl group of the formula:



الملت

wherein R^c is selected from the group consisting of acyl, alkyl, alkoxy, alkylalkoxy, azido, cyano, halo, hydrogen, nitro, trihalomethyl, thioalkoxy, and wherein R^b and R^c are fused to form a heteroaryl or heterocyclic ring with the phenyl ring wherein the heteroaryl or heterocyclic ring contains from 3 to 8 atoms of which from 1 to 3 are heteroatoms independently selected from the group consisting of oxygen, nitrogen and sulfur

R^b and R^b are independently selected from the group consisting of hydrogen, halo, nitro, cyano, trihalomethyl, alkoxy, and thioalkoxy with the proviso that when R^c is hydrogen, then R^b and R^{b'} are either both hydrogen or both substituents other than hydrogen,

- (c) 2-naphthyl,
- (d) 2-naphthyl substituted at the 4, 5, 6, 7 and/or 8 positions with 1 to 5 substituents selected from the group consisting alkyl, alkoxy, halo, cyano, nitro, trihalomethyl, thioalkoxy, aryl, and heteroaryl,

(e) heteroaryl, and

(f) substituted heteroary containing 1 to 3 substituents selected from the group consisting of alkyl, alkoxy, aryl, aryloxy, cyano, halo, nitro, heteroaryl, thioalkoxy, thioaryloxy provided that said substituents are not *ortho* to the heteroaryl attachment to the -NH group.

20

15

5

- 7. The method according to Claim 5 wherein R¹ is selected from the group consisting of mono-, di- and tri-substituted phenyl groups.
- 8. The method according to Claim \(\nabla \) wherein R\(\text{1} \) is a disubstituted phenyl selected from the group consisting of 3,5-dichlorophenyl, 3,5-difluorophenyl, 3,5-di(trifluoromethyl)-phenyl, 3,4-dichlorophenyl, 3,4-difluorophenyl, 3-(trifluoromethyl)-4-chlorophenyl, 3-chloro-4-cyanophenyl, 3-chloro-4-iodophenyl, and 3,4-methylenedioxyphenyl.
- 30 9. The method according to Claim 7 wherein R¹ is a monosubstituted phenyl selected from the group consisting of 4-azidophenyl, 4-bromophenyl, 4-

chlorophenyl, 4-cyanophenyl, 4-ethylphenyl, 4-fluorophenyl, 4-iodophenyl, 4-(phenylcarbonyl)-phenyl, and 4-(1-ethoxy)ethylphenyl.

- The method according to Claim 7 wherein R¹ is a trisubstituted phenyl selected from the group consisting of 3,4,5-trifluorophenyl and 3,4,5-trichlorophenyl.
 - 11. The method according to Claim 5 wherein R¹ is selected from 2-naphthyl, quinolin-3-yl, 2-methylquinolin-6-yl, benzothiazol-6-yl, 5-indolyl, and phenyl.
 - 12. A method according to any of Claims 1, 2 or 3 wherein m is one.
- A method according to Claim 12 wherein R1 is selected from the 13. group consisting of phenyl, 4-haphthyl, 2-naphthyl, 2-chlorophenyl, 2-15 fluorophenyl, 2-bromophenyl, 2-hydroxyphenyl, 2-nitrophenyl, 2-methylphenyl, 2-methoxyphenyl, 2-phenoxyphenyl, 2-trifluoromethylphenyl, 4-fluorophenyl, 4chlorophenyl, 4-bromophenyl, 4-mitrophenyl, 4-methylphenyl, 4-hydroxyphenyl, 4-methoxyphenyl, 4-ethoxyphenyl, 4-iso-propylphenyl, 4-20 phenoxyphenyl, 4-trifluoromethylphenyl, 4-hydroxymethylphenyl, 3methoxyphenyl, 3-hydroxyphenyl, 3-nitrophenyl, 3-fluorophenyl, 3chlorophenyl, 3-bromophenyl, 3-phenoxyphenyl, 3-thiomethoxyphenyl, 3methylphenyl, 3-trifluoromethylphenyl, 2,3-dichlorophenyl, 2,3-difluorophenyl, 2,4-dichlorophenyl, 2,5-dimethoxyphenyl, 3,4-dichlorophenyl, 3,4-25 difluorophenyl, 3,4-methylenedioxyphenyl, 3,4-dimethoxyphenyl, 3,5difluorophenyl, 3,5-di-(trifluoromethyl)phenyl, 3,5dimethoxyphenyl, 2,4-dichlorophenyl, 2,4-difluorophenyl, 3,4,5-trifluorophenyl, 3,4,5-trimethoxyphenyl, 3,4,5-tri-(trifluoromethyl)phenyl, 2,4,6-trifluorophenyl, 2,4,6-trimethylphenyl, 2,4,6-trifluoromethyl)phenyl, 2,3,5-trifluorophenyl, 2,4,5-trifluorophenyl, 2,5-difluorophenyl, 2-fluoro-3-30

trifluoromethylphenyl, 4-fluoro-2-trifluoromethylphenyl, 2-fluoro-4-

10

15

20

25

30

trifluoromethylphenyl, 4-benzyloxyphenyl, 2-chloro-6-fluorophenyl, 2-fluoro-6chlorophenyl, 2,3,4,5,6-pentafluorophenyl, 2,5-dimethylphenyl, 4phenylphenyl, 2-fluoro-3-trifluoromethylphenyl, adamantyl, benzyl, 2phenylèthyl, 3-phenyl-n-propyl, 4-phenyl-n-butyl, methyl, ethyl, n-propyl, iso-propyl, iso-butyl, sec-butyl, tert-butyl, n-pentyl, iso-valeryl, n-hexyl, cyclopropyl, cyclobutyl, cyclohexyl, cyclopentyl, cyclopent-1-enyl, cyclopent-2enyl, cyclohex-1-enyl, -CH₂-cyclopropyl, -CH₂-cyclobutyl, -CH₂-cyclohexyl, -CH₂-cyclopentyl, -CH₂CH₂-cyclopropyl, -CH₂CH₂-cyclobutyl, -CH₂CH₂-cyclohexyl, -CH₂CH₂-cyclopentyl, pyrid-2-yl, pyrid-3-yl, pyrid-4-yl, fluoropyridyls (including 5-fluoropyrid-3-yl), chloropyridyls (including 5chloropyrid-3-yl), thien-2-yl, thien-3-yl, benzothiazol-4-yl, 2-phenylbenzoxazol-5-yl, furan-2-yl, benzofuran-2-yl, thionaphthen-2-yl, thionaphthen-3-yl, thionaphthen-4-yl, 2-chlorothiophen-5-yl, 3-methylisoxazol-5-yl, 2-(thiophenyl)thien-5-yl, 6-methoxythionaphthen-2-yl, 3-phenyl-1,2,4thiooxadiazol-5-yl, 2-phenyloxazol-4-yl, indol-3-yl, 1-phenyl-tetraol-5-yl, allyl, 2-(cyclohexyl)ethyl, $(CH_3)_2CH + CHCH_2CH_2CH(CH_3)$ -, $\phi C(O)CH_2$ -, thien-2-ylmethyl, 2-(thien-2-yl)ethyl, 3-(thien-2-yl)-n-propyl, 2-(4-nitrophenyl)ethyl, 2-(4-methoxyphenyl)ethyl, norboran-2-yl, (4-methoxyphenyl)methyl, (2methoxyphenyl)methyl, (3-methoxyphenyl)methyl, (3-hydroxyphenyl)methyl, (4-hydroxyphenyl)methyl, (4-methoxyphenyl)methyl, (4-methylphenyl)methyl, (4-fluorophenyl)methyl, (4-fluorophenoxy)methyl, (2,4-dichlorophenoxy)ethyl, (4-chlorophenyl)methyl, (2-chlorophenyl)methyl, (1-phenyl)ethyl, (1-(pchlorophenyl)ethyl, (1-trifluoromethyl)ethyl, (4-methoxyphenyl)ethyl, $CH_3OC(O)CH_2$ -, benzylthiomethyl, 5-(methoxycarbonyl)-n-pentyl, 3-(methoxycarbonyl)-n-propyl, indan-2-yl, (2-methylbenzofuran-3-yl), methoxymethyl, $CH_3CH = CH_1$, $CH_3CH_2CH = CH_2$, (4-chlorophenyl)C(O)CH₂-, (4-fluorophenyl)C(O)CH₂-, (4-methoxyphenyl)C(O)CH₂-, 4-(fluorophenyl)-NHC(O)CH₂-, 1-phenyl-*n*-butyl, $(\phi)_2$ CHNHC(O)CH₂CH₂-, $(CH_3)_2$ NC(O)CH₂-, $(\phi)_2$ CHNHC(O)CH₂CH₂-, methylcarbonylmethyl, (2,4dimethylphenyl)C(O)CH₂-, 4-methoxyphenyl-C(O)CH₂\, phenyl-C(O)CH₂-,

 $CH_3C(O)N(\phi)$ -, ethenyl, methylthiomethyl, $(CH_3)_3CNHC(O)CH_2$ -,

 $\frac{1}{4}$ fluorophenyl-C(O)CH₂-, diphenylmethyl, phenoxymethyl, 3,4methylenedioxyphenyl-CH₂-, benzo[b]thiophen-3-yl, (CH₃)₃COC(O)NHCH₂-, trans-styryl, H₂NC(O)CH₂CH₂-, 2-trifluoromethylphenyl-C(O)CH₂, ϕ C(O)NHCH(ϕ)CH₂-, mesityl, CH₃CH(=NHOH)CH₂-, 4-CH₃- ϕ -NHC(O)CH₂CH₂-, ϕ C(O)CH(ϕ)CH₂-, (CH₃)₂CHC(O)NHCH(ϕ)-. $CH_3CH_2QCH_2$ -, $CH_3QC(Q)CH(CH_3)(CH_2)_3$ -, 2,2,2-trifluoroethyl, 1-(trifluor@methyl)ethyl, 2-CH₃-benzofuran-3-yl, 2-(2,4-dichlorophenoxy)ethyl, ϕ SO₂CH₂-, β -cyclohexyl-*n*-propyl, CF₃CH₂CH₂CH₂- and N-pyrrolidinyl.

10 14. A method according to any of Claims 1, 2 or 3 where n is one or two, and each Risi independently selected from the group consisting of alkyl, substituted alkyl, alkenyl, cycloalkyl, aryl, heteroaryl and heterocyclic.

The method according to Claim 14 wherein R² is selected from the 15. group consisting of methyl, ethyl, n-propyl, iso-propyl, n-butyl, iso-butyl, 15 sec-butyl, tert-butyl, -CH₂CH₂CH₃)₂, 2-methyl-n-butyl, 6-fluoro-n-hexyl, phenyl, benzyl, cyclohexyl cyclopentyl, cycloheptyl, allyl, iso-but-2-enyl, 3-methylpentyl, -CH₂-cyclopropyl, -CH₂-cyclohexyl, -CH₂-cyclopropyl. -CH₂CH₂-cyclohexyl, -CH₂-indol-3-yl, p-(phenyl)phenyl, o-fluorophenyl, 20 m-fluorophenyl, p-fluorophenyl, m-methoxyphenyl, p-methoxyphenyl, phenethyl, benzyl, m-hydroxybenzyl, p-hydroxybenzyl, p-nitrobenzyl, *m*-trifluoromethylphenyl, p-(CH₃)₂NCH₂CH₂CH₂O-benzyl, p-(CH₃)₃COC(O)CH₂O-benzyl, p-(HOOCCH₂O)-benzyl, 2-aminopyrid-6-yl, p-(N-morpholino-CH₂CH₂O)-benzyl, -CH₂CH₂C(O)NH₂, -CH₂-imidazol-4-yl, -CH₂-(3-tetrahydrofuranyl), -CH₂-thiophen-2-yl, -CH₂(1-methyl)cyclopropyl, 25 -CH₂-thiophen-3-yl, thiophen-2-yl, -CH₂-C(O)O-t-butyl, -CH₂-C(CH₃)₃, -CH₂CH(CH₂CH₃)₂, 2-methylcyclopentyl, cyclohex-2-enyl, -CH[CH(CH₃)₂]COOCH₃, -CH₂CH₂N(CH₃)₂, -CH₂C(CH₃) = CH₂,-CH₂CH=CHCH₃ (cis and trans), -CH₂OH, -CH(OH)CH₃, -CH(O-t-butyl)CH₃, -CH₂OCH₃, -(CH₂)₄NH-Boc, -(CH₂)₄NH₂, -CH₂-pyridyl, pyridyl, 30

10

15

20

25

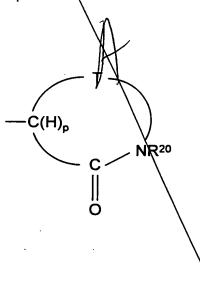
30

-CH₂-naphthyl, -CH₂-(N-morpholino), *p*-(N-morpholino-CH₂CH₂O)-benzyl, benzo[b]thiophen-2-yl, 5-chlorobenzo[b]thiophen-2-yl, 4,5,6,7-tetrahydrobenzo[b]thiophen-2-yl, benzo[b]thiophen-3-yl, 5-chlorobenzo[b]thiophen-3-yl, benzo[b]thiophen-5-yl, 6-methoxynaphth-2-yl, -CH₂CH₂SCH₃, thien-2-yl, thien-3-yl, and the like.

16. A method according to any of Claims 1, 2 or 3 wherein the cyclic groups defined by W and $-C(H)_pC(=X)$ - is selected from the group consisting of lactones, lactams, thiolactones, thiolactams, heterocyclic and cycloalkyl groups.

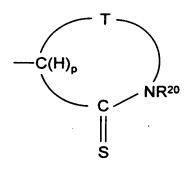
17. The method according to Claim 16 wherein the cyclic group defined by W and $-C(H)_pC(=X)$, forms a lactam or thiolactam ring of the formula:

0



or

35



10

15

20

25

30

wherein p is zero or one, T is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, $-(R^{21}Z)_qR_{21}$ - and $-ZR^{21}$ -where Z is a substituent selected from the group consisting of -O-, -S- and $> NR^{20}$, each R^{20} is independently selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, aryl, heteroaryl and heterocyclic, each R^{21} is independently alkylene, substituted alkylene, alkenylene and substituted alkenylene with the proviso that when Z is -O- or -S-, any unsaturation in the alkenylene and substituted alkenylene does not involve participation of the -O- or -S-, and q is an integer of from 1 to 3.

18. The method according to Claim 17 wherein the lactam ring is selected from the group consisting of

$$(V)_{t}$$

$$(V)_{t}$$

$$(V)_{t}$$

$$(R^{a})_{w}$$

$$(R^{a})_{w}$$

$$(R^{a})_{w}$$

$$(R^{a})_{w}$$

$$(R^{a})_{w}$$

The state of the s

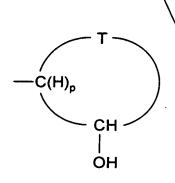
.

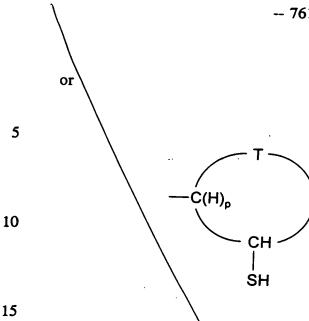
wherein A-B is selected from the group consisting of alkylene, alkenylene, substituted alkylene, substituted alkenylene and -N=CH-; Q' is oxygen or sulfur; each V is independently selected from the group consisting of hydroxy, acyl, acyloxy, alkyl, substituted alkyl, alkoxy, substituted alkoxy, alkenyl, substituted alkynyl, amino, aminoacyl, alkaryl, aryl, aryloxy, carboxyl, carboxylalkyl, cyano, halo, nitro, heteroaryl, thioalkoxy, substituted thioalkoxy, trihalomethyl and the like; Ra is selected from the group consisting of alkyl, substituted alkyl, alkoxy, substituted alkoxy, amino, carboxyl carboxyl alkyl, cyano, halo, and the like; Rb is selected from the group consisting of alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, acyl, aryl, heteroaryl, heterocyclic, and the like; Rc is selected from the group consisting of alkyl, substituted alkyl, alkenyl, substituted alkenyl, aryl, heteroaryl, heterocyclic, cycloalkyl, and substituted cycloalkyl; t is an integer from 0 to 4; t' is an integer from 0 to 3; and w is an integer from 0 to 3.

19. The method according to Claim 16 wherein the cyclic group defined by W, together with $-C(H)_pC(=X)$ - is a ring of the formula:

20

25





wherein p is zero or one, T is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, $-(R^{21}Z)_qR_{21}$ - and $-ZR^{21}$ where Z is a substituent selected from the group consisting of -O-, -S- and >NR²⁰, each R²⁰ is independently selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, aryl, heteroaryl and heterocyclic, each R21 is independently alkylene, substituted alkylene, alkenylene and substituted alkenylene with the proviso that when Z is -O- or -S-, any unsaturation in the alkenylene and substituted alkenylene does not involve participation of the -O- or -S-, and q is an integer of from 1 to 3.

25

20

The state of the control of the state of the control of the state of the control of the state of

transport

17

لنيهله

20. The method according to Claim 19 wherein the alcohol or thiol substituted groups is selected from the group consisting of

$$(V)_t$$
 $(R^a)_w$
 $(V)_t$
 $(V)_t$
 $(R^a)_w$
 $(V)_t$
 $(R^a)_w$
 $(R^a)_w$
 $(R^a)_w$
 $(R^a)_w$
 $(R^a)_w$
 $(R^a)_w$
 $(R^a)_w$

wherein each V is independently selected from the group consisting of hydroxy, acyl, acyloxy, alkyl, substituted alkyl, alkoxy, substituted alkoxy, alkenyl, substituted alkynyl, amino, aminoacyl, alkaryl, aryl, aryloxy, carboxyl, carboxylalkyl, cyano, halo, nitro, heteroaryl, thioalkoxy, substituted thioalkoxy, trihalomethyl and the like; R^a is selected from the group consisting of alkyl, substituted alkyl, alkoxy, substituted alkoxy, amino, carboxyl, carboxyl alkyl, cyano, halo, and the like; t is an integer from 0 to 4; and w is an integer from 0 to 3.

21. The method according to Claim 16 wherein the cyclic group defined by W, together with $-C(H)_pC(=X)$ -, forms a ring of the formula:

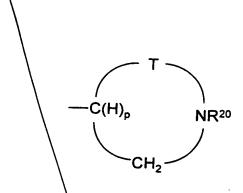
5

10

15

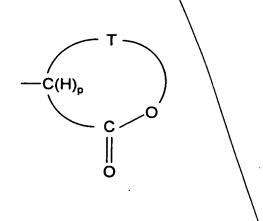
20

25



wherein p is zero or one, T is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, $-(R^{21}Z)_qR_{21}$ - and $-ZR^{21}$ -where Z is a substituent selected from the group consisting of -O-, -S- and $> NR^{20}$, each R^{20} is independently selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, aryl, heteroaryl and heterocyclic, each R^{21} is independently alkylene, substituted alkylene, alkenylene and substituted alkenylene with the proviso that when Z is -O- or -S-, any unsaturation in the alkenylene and substituted alkenylene does not involve participation of the -O- or -S-, and q is an integer of from 1 to 3.

22. The method according to Claim 16 wherein the cyclic group defined by W, together with $-C(H)_pC(=X)$ -, forms a ring of the formula:



.. 64.1

wherein p is zero or one, T is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, $-(R^{21}Z)_qR_{21}$ - and $-ZR^{21}$ where \(\) is a substituent selected from the group consisting of -O-, -S- and > NR²⁰, each R²⁰ is independently selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, aryl, heteroaryl and heterocyclic, each R21 is independently alkylene, substituted alkylene, alkenylene and substituted alkenylene with the proviso that when Z is -O- or -S-, any unsaturation in the alkenylene and substituted alkenylene does not involve participation of the -O- or -S-, and q is an integer of from 1\to 3.

23. The method according to Claim 22 wherein the compound of formula I is selected from the group consisting of

15

5

10

20

25

30

wherein each V is independently selected from the group consisting of hydroxy, acyl, acyloxy, alkyl, substituted alkyl, alkoxy, substituted alkoxy, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, amino, aminoacyl, alkaryl, aryl, aryloxy, carboxyl, carboxylalkyl, cyano, halo, nitro, heteroaryl, thioalkoxy, substituted thioalkoxy, trihalomethyl and the like; Ra is selected

10

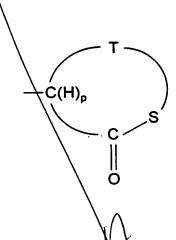
15

20

25

from the group consisting of alkyl, substituted alkyl, alkoxy, substituted alkoxy, amino, carboxyl, carboxyl alkyl, cyano, halo, and the like; t is an integer from 0 to 4; and w is an integer from 0 to 3.

24. The method according to Claim 16 wherein the cyclic group defined by W, together with $-C(H)_pC(=X)$ -, forms a ring of the formula:



wherein p is zero or one, T is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, $-(R^{21}Z)_qR_{21}$ - and $-ZR^{21}$ - where Z is a substituent selected from the group consisting of -O-, -S- and $> NR^{20}$, each R^{20} is independently selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, aryl, heteroaryl and heterocyclic, each R^{21} is independently alkylene, substituted alkylene, alkenylene and substituted alkenylene with the proviso that when Z is -O- or -S-, any unsaturation in the alkenylene and substituted alkenylene does not involve participation of the -O- or -S-, and q is

25. The method according to Claim 16 wherein the cyclic group defined by W, together with $-C(H)_pC(=X)$ -, forms a ring of the formula:

an integer of from 1 to 3.

30

C(H)_p

C(H)

S

5

10

15

20

25

نعما

wherein p is zero or one, T is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, $-(R^{21}Z)_qR_{21}$ - and $-ZR^{21}$ -where Z is a substituent selected from the group consisting of -O-, -S- and $> NR^{20}$, each R^{20} is independently selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, aryl, heteroaryl and heterocyclic, each R^{21} is independently alkylene, substituted alkylene, alkenylene and substituted alkenylene with the proviso that when Z is -O- or -S-, any unsaturation in the alkenylene and substituted alkenylene does not involve participation of the -O- or -S-, and q is an integer of from 1 to 3.

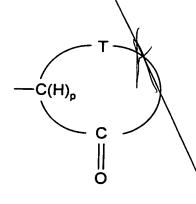
26. The method according to Claim 16 wherein the cyclic group defined by W, together with $-C(H)_pC(=X)$ -, forms a ring of the formula:

30

35

wherein p is zero or one, T is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, $-(R^{21}Z)_qR_{21}$ - and $-ZR^{21}$ -where Z is a substituent selected from the group consisting of -O-, -S- and $> NR^{20}$, each R^{20} is independently selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, aryl, heteroaryl and heterocyclic, each R^{21} is independently alkylene, substituted alkylene, alkenylene and substituted alkenylene with the proviso that when Z is -O- or -S-, any unsaturation in the alkenylene and substituted alkenylene does not involve participation of the -O- or -S-, and q is an integer of from 1 to 3.

27. The method according to Claim 16 wherein the cyclic group defined by W, together with -C(H) C(=X)-, forms a ring of the formula:



25

30

35

20

5

10

15

wherein p is zero or one, T is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, $-(R^{21}Z)_qR_{21}$ - and $-ZR^{21}$ -where Z is a substituent selected from the group consisting of -O-, -S- and $>NR^{20}$, each R^{20} is independently selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, aryl, heteroaryl and heterocyclic, each R^{21} is independently alkylene, substituted alkylene, alkenylene and substituted alkenylene with the proviso that when Z is -O- or -S-, any unsaturation in the alkenylene and

28. The method according to Claim 27 wherein the compound of formula I is selected from the group consisting of:

10

15

20

25

 $(V)_{t}$ $(R^{a})_{w}$ $(V)_{t}$ $(R^{a})_{w}$ $(R^{a})_{w}$

29. The method according to Claim 16 wherein the cyclic group defined by W, together with $-C(H)_pC(=X)$ -, forms a ring of the formula:

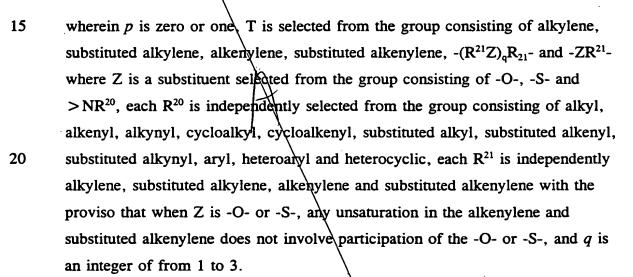
Ċ(H)_p

S



10

The state of the s



25

The method according to Claim \16 wherein the cyclic group defined 30. by W, together with $-C(H)_pC(=X)$ -, forms a ring of the formula:

30

35

, С(H)_Р SH

10

15

20 '

wherein p is zero or one, T is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, $-(R^{21}Z)_qR_{21}$ - and $-ZR^{21}$ -where Z is a substituent selected from the group consisting of -O-, -S- and $> NR^{20}$, each R^{20} is independently selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, aryl, heteroaryl and heterocyclic, each R^{21} is independently alkylene, substituted alkylene, alkenylene and substituted alkenylene with the proviso that when Z is -O- or -S-, any unsaturation in the alkenylene and substituted alkenylene does not involve participation of the -O- or -S-, and q is an integer of from 1 to 3.

31. The method according to Claim 16 wherein the cyclic group defined by W, together with $-C(H)_pC(=X)$ -, forms a ring of the formula:

-C(H)_p CH NR²⁰R²⁰

25

30

35

wherein p is zero or one, T is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, $-(R^{21}Z)_qR_{21}$ - and $-ZR^{21}$ -where Z is a substituent selected from the group consisting of -O-, -S- and $> NR^{20}$, each R^{20} is independently selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, aryl, heteroaryl and heterocyclic, each R^{21} is independently alkylene, substituted alkylene, alkenylene and substituted alkenylene with the

10

15

20

25

30

35

proviso that when Z is -O- or -S-, any unsaturation in the alkenylene and substituted alkenylene does not involve participation of the -O- or -S-, and q is an integer of from 1 to 3.

32. A pharmaceutical composition comprising a pharmaceutically inert carrier and a pharmaceutically effective amount of a compound of formula I:

 R^{1} X X X X X X

Ι

wherein R¹ is selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, substituted cycloalkyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

W, together with $-C(H)_pC(=X)$ -, forms a cycloalkyl, cycloalkenyl, heterocyclic, substituted cycloalkyl, or substituted cycloalkenyl group wherein each of said cycloalkyl, cycloalkenyl, heterocyclic, substituted cycloalkyl or substituted cycloalkenyl group is optionally fused to form a bi- or multi-fused ring system (preferably no more than 5 fused rings) with one or more ring structures selected from the group consisting of cycloalkyl, cycloalkenyl, heterocyclic, aryl and heteroaryl group which, in turn, each of such ring structures are optionally substituted with 1 to 4 substituents selected from the group consisting of hydroxyl, halo, alkoxy, substituted alkoxy, thioalkoxy, substituted thioalkoxy, nitro, cyano, carboxyl, carboxyl esters, alkyl, substituted alkyl, alkenyl, substituted alkynyl, amino, N-alkyl, amino, N-alkyl, N-substituted alkylamino, N-alkyl, N-substituted

alkylamino, N,N-disubstituted alkylamino, -NHC(O)R⁴, -NHSO₂R⁴, -C(O)NH₂, -C(O)NHR⁴, -C(O)NR⁴R⁴, -S(O)₂R⁴, -S(O)₂R⁴, -S(O)₂NHR⁴ and -S(O)₂NR⁴R⁴ where each R⁴ is independently selected from the group consisting of alkyl, substituted alkyl, or aryl;

X is selected from the group consisting of oxo (=0), thiooxo (=S), hydroxyl (-H, -OH), thiol (H,-SH) and hydro (H,H);

Y is represented by the formula:

10

5

15

25

30

wherein each R² is independently selected from the group consisting of alkyl, substituted alkyl, alkenyl, substituted alkynyl, substituted alkynyl, cycloalkyl, aryl, heteroaryl and heterocyclic;

Z is represented by the formula \-T-CX'X"C(0)- where T is selected from the group consisting of a bond covalently linking R¹ to -CX'X"-, oxygen, sulfur, -NR⁵ where R⁵ is hydrogen, acyl, alkyl, aryl or heteroaryl group;

X' is hydrogen, hydroxy or fluoro,

X" is hydrogen, hydroxy or fluoro, or X' and X" together form an oxo group;

m is an integer equal to 0 or 1;

n is an integer equal to 0, 1 or 2;

p is an integer equal to 0 or 1 such that when p is zero, the ring defined by W and $-C(H)_pC(=X)$ - is unsaturated at the carbon atom of ring attachment to Y and when p is one, the ring is saturated at the carbon atom of ring attachment to Y,

with the following provisos:

فبناد

A. when R^1 is 3,5-diffuorophenyl, R^2 is -CH₃, Z is -CH₂C(O)-, m is 1, n is 1, and p is 1, then W, together with >CH and >C=X, does not form a 2-(S)-indapol group;

- B. when R^1 is phenyl, R^2 is $-CH_3$, Z is $-CH_2C(O)$ -, m is 1, n is 1, and p is 1, then W, together with > CH and > C = X, does not form a trans-2-hydroxy-cyclohex-1-yl group;
- C. when \mathbb{R}^1 is phenyl, Z is -CH₂C(O)-, m is 1, n is 0, and p is 1, then W, together with > CH and > C=X, does not form a gammabutyrolactone group or a 5,5-dimethyl-gammabutyrolactone group;
- D. when R¹ is phenyl, Z is -CH₂C(O)-, m is 1, n is 0, and p is 1, then W, together with >CH and >C=X, does not form a ϵ -caprolactam group;
- E. when R^1 is cyclopropyl, R^2 is $-CH_3$, Z is $-CH_2C(O)$ -, m is 1, n is 1, and p is 1, then W, together with >CH and >C=X, does not form an N-methylcaprolactam group;
- F. when R^1 is 4-chlorobenzoyl- CH_2 -, R^2 is - CH_3 , Z is - $CH_2C(O)$ -, m is 1, n is 1, and p is 1, then W together with >CH and >C=X, does not form an 2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one;
 - G. when R^1 is 2-phenylphenyl, R^2 is $-CH_3$, Z is $-CH_2C(O)$ -, m is 1, n is 1, and p is 1, then W, together with >CH and >C=X, does not form an 7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one;
 - H. when R^1 is $CH_3OC(O)CH_2$ -, R^2 is $-CH_3$, Z is $-CH_2C(O)$ -, m is 1, n is 1, and p is 1, then W, together with >CH and >C=X, does not form an 2,3-dihydro-1-(t-butylC(O)CH₂-)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;
- I. when R¹ is 4-ethoxyphenyl, 2,4,6-trimethylphenyl, 4-phenylphenyl, 25 CH₃OC(O)CH₂-, 4-HOCH₂-phenyl, 2,4,6-trifluorophenyl, 2-trifluoromethyl-4-fluorophenyl, or CH₃S-, R² is -CH₃, Z is -CH₂C(O)-, m is 1, n is 1, and p is 1, then W, together with >CH and >C=X, does not form a 2,3-dihydro-1-(N,N-diethylamino-CH₂CH²-)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;
- J. when R^1 is 2,6-difluorophenyl, R^2 is -CH₃, Z is -CH(OH)C(O)-, m is 1, n is 1, and p is 1, then W, together with >CH and >C=X, does not

15

20

10

form a 2,3-dihydro-1-(N,N-diethylamino-CH₂CH²-)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one,

K. when m is 1 and n is 1, then

C(H)

5

10

10

15

20

does not equal cycloalkyl of from 3 to 8 carbon atoms optionally substituted with 1 to 3 alkyl groups.

- 33. The pharmaceutical composition according to Claim 32 where, in formula I, m is zero.
- 25 34. The pharmaceutical composition according to Claim 33 wherein R¹ is aryl or heteroaryl.
 - 35. The pharmaceutical composition according to Claim 34 wherein R¹ is selected from the group consisting of
- 30 (a) phenyl,

The state of the s

ŗ.,

(b) a substituted phenyl group of the formula:

5

10

15

wherein R^c is selected from the group consisting of acyl, alkyl, alkoxy, alkylalkoxy, azido, cyano, halo, hydrogen, nitro, trihalomethyl, thioalkoxy, and wherein R^b and R^c are fused to form a heteroaryl or heterocyclic ring with the phenyl ring wherein the heteroaryl or heterocyclic ring contains from 3 to 8 atoms of which from 1 to 3 are heteroatoms independently selected from the group consisting of oxygen, patrogen and sulfur

20

R^b and R^{b'} are independently selected from the group consisting of hydrogen, halo, nitro, cyano, trihalomethyl, alkoxy, and thioalkoxy with the proviso that when R^c is hydrogen, then R^b and R^{b'} are either both hydrogen or both substituents other than hydrogen,

(c) 2-naphthyl,

25

- (d) 2-naphthyl substituted at the 4, 5, 6, 7 and/or 8 positions with 1 to 5 substituents selected from the group consisting alkyl, alkoxy, halo, cyano, nitro, trihalomethyl, thioalkoxy, aryl, and heteroaryl,
 - (e) heteroaryl, and

(f) substituted heteroaryl containing to 3 substituents selected from the group consisting of alkyl, alkoxy, aryl, aryloxy, cyano, halo, nitro, heteroaryl, thioalkoxy, thioaryloxy provided that said substituents are not *ortho* to the heteroaryl attachment to the -NH group.

- 36. The pharmaceutical composition according to Claim 32 wherein R¹ is selected from the group consisting of mono-, di- and tri-substituted phenyl groups.
- is a disubstituted phenyl selected from the group consisting of 3,5-dichlorophenyl, 3,5-difluorophenyl, 3,5-di(trifluoromethyl)-phenyl, 3,4-dichlorophenyl, 3,4-difluorophenyl, 3-(trifluoromethyl)-4-chlorophenyl, 3-chloro-4-cyanophenyl, 3-chloro-4-iodophenyl, and 3,4-methylenedioxyphenyl.
 - 38. The pharmaceutical composition according to Claim 36 wherein R¹ is a monosubstituted phenyl selected from the group consisting of 4-azidophenyl, 4-bromophenyl, 4-chlorophenyl, 4-cyanophenyl, 4-ethylphenyl, 4-fluorophenyl, 4-iodophenyl, 4-(phenylcarbonyl)-phenyl, and 4-(1-ethoxy)ethylphenyl.
 - 39. The pharmaceutical composition according to Claim 36 wherein R¹ is a trisubstituted phenyl selected from the group consisting of 3,4,5-trifluorophenyl and 3,4,5-trichlorophenyl.
 - 40. The pharmaceutical composition according to Claim 32 wherein R¹ is selected from 2-naphthyl, quinolin-3-yl, 2 methylquinolin-6-yl, benzothiazol-6-yl, 5-indolyl, and phenyl.
- 25 41. The pharmaceutical composition according to any of Claim 32 wherein m is one.
 - 42. The pharmaceutical composition according to Claim 41 wherein R¹ is selected from the group consisting of phenyl, 1-naphthyl, 2-naphthyl, 2-chlorophenyl, 2-fluorophenyl, 2-bromophenyl, 2-hydroxyphenyl, 2-nitrophenyl, 2-methylphenyl, 2-methoxyphenyl, 2-phenoxyphenyl,

10

30

wi

- 2\trifluoromethylphenyl, 4-fluorophenyl, 4-chlorophenyl, 4-bromophenyl,
- 4-ntrophenyl, 4-methylphenyl, 4-hydroxyphenyl, 4-methoxyphenyl,
- 4-ethoxyphenyl, 4-butoxyphenyl, 4-iso-propylphenyl, 4-phenoxyphenyl,
- 4-trifluoromethylphenyl, 4-hydroxymethylphenyl, 3-methoxyphenyl,
- 5 3-hydroxyphenyl, 3-nitrophenyl, 3-fluorophenyl, 3-chlorophenyl,
 - 3-bromophenyl, 3-phenoxyphenyl, 3-thiomethoxyphenyl, 3-methylphenyl,
 - 3-trifluoromethylphenyl, 2,3-dichlorophenyl, 2,3-difluorophenyl, 2,4-
 - dichlorophenyl, 2,5-dimethoxyphenyl, 3,4-dichlorophenyl, 3,4-difluorophenyl,
 - 3,4-methylenedioxyphenyl, 3,4-dimethoxyphenyl, 3,5-difluorophenyl,
- 3,5-dichlorophenyl, 3,5-di-(trifluoromethyl)phenyl, 3,5-dimethoxyphenyl,
 - 2,4-dichlorophenyl, 2,4-difluorophenyl, 2,6-difluorophenyl, 3,4,5-
 - trifluorophenyl, 3,4,5-trimethoxyphenyl, 3,4,5-tri-(trifluoromethyl)phenyl,
 - 2,4,6-trifluorophenyl, 2,4,6-trimethylphenyl, 2,4,6-tri-(trifluoromethyl)phenyl,
 - 2,3,5-trifluorophenyl, 2,4,5-trifluorophenyl, 2,5-difluorophenyl, 2-fluoro-3-
- trifluoromethylphenyl, 4-fluoro-2-trifluoromethylphenyl, 2-fluoro-4
 - trifluoromethylphenyl, 4-benzyloxyphenyl, 2-chloro-6-fluorophenyl, 2-fluoro-6
 - chlorophenyl, 2,3,4,5,6-pentafluorophenyl, 2,5-dimethylphenyl,
 - 4-phenylphenyl, 2-fluoro-3-trifluoromethylphenyl, adamantyl, benzyl,
 - 2-phenylethyl, 3-phenyl-n-propyl, 4-phenyl-n-butyl, methyl, ethyl, n-propyl,
- 20 iso-propyl, iso-butyl, sec-butyl, tert-butyl, n-pentyl, iso-valeryl, n-hexyl,
- cyclopropyl, cyclobutyl, cyclohexyl, cyclopentyl, cyclopent-1-enyl, cyclopent-2
 - enyl, cyclohex-1-enyl, -CH₂-cyclopropyl, -CH₂-cyclobutyl, -CH₂-cyclohexyl,
 - -CH₂-cyclopentyl, -CH₂CH₂-cyclopropyl, -CH₂CH₂-cyclobutyl,
 - -CH₂CH₂-cyclohexyl, -CH₂CH₂-cyclopentyl, pyrid-2-yl, pyrid-3-yl, pyrid-4-yl,
- 25 fluoropyridyls, chloropyridyls, thien-2-yl, thien-3-yl, benzothiazol-4-yl,
 - 2-phenylbenzoxazol-5-yl, furan-2-yl, benzofuran-2-yl, thionaphthen-2-yl,
 - thionaphthen-3-yl, thionaphthen-4-yl, 2-chlorothiophen-5-yl, 3-methylisoxazol-5-
 - yl, 2-(thiophenyl)thien-5-yl, 6-methoxythionaphthen-2-yl, 3-phenyl-1,2,4-
 - thiooxadiazol-5-yl, 2-phenyloxazol-4-yl, indol-3-yl, 1-phenyl-tetraol-5-yl, allyl,
- 2-(cyclohexyl)ethyl, $(CH_3)_2CH = CHCH_2CH_2CH(CH_3)$ -, $\phi C(O)CH_2$ -, thien-2-yl-methyl, 2-(thien-2-yl)ethyl, 3-(thien-2-yl)-n-propyl, 2-(4-nitrophenyl)ethyl,

10

15

30

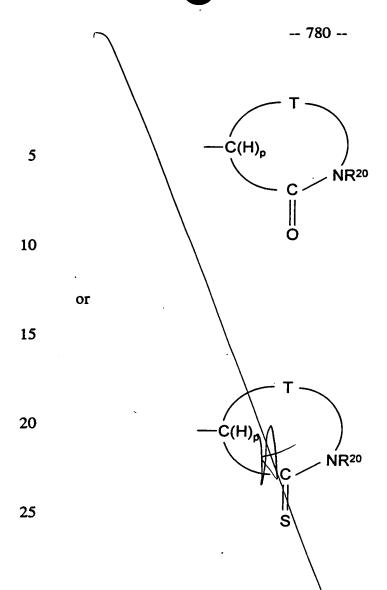
- 2-\(4-methoxyphenyl)ethyl, norboran-2-yl, (4-methoxyphenyl)methyl, (2-methoxyphenyl)methyl, (3-methoxyphenyl)methyl, (3-hydroxyphenyl)methyl, (4-hydroxyphenyl)methyl, (4-methoxyphenyl)methyl, (4-methylphenyl)methyl, (4-fluorophenyl)methyl, (4-fluorophenoxy)methyl, (2,4-dichlorophenoxy)ethyl, (4-chlorophenyl)methyl, (2-chlorophenyl)methyl, (1-phenyl)ethyl, (1-(p-chlorophenyl)ethyl, (1-trifluoromethyl)ethyl, (4-methoxyphenyl)ethyl, $CH_3OC(O)CH_{27}$, benzylthiomethyl, 5-(methoxycarbonyl)-n-pentyl, 3-(methoxycarbonyl)-n-propyl, indan-2-yl, (2-methylbenzofuran-3-yl), methoxymethyl, $CH_3CH=CH_1$, $CH_3CH_2CH=CH_2$, (4-chlorophenyl)C(O)CH₂-, (4-fluorophenyl)C(O)CH₂-, (4-methoxyphenyl)C(O)CH₂-, 4-(fluorophenyl)-NHC(O)CH₂-, 1-phenyl-n-butyl, $(\phi)_2$ CHNHC(O)CH₂CH₂-, $(CH_3)_2$ NC(O)CH₂-, (ϕ) , CHNHC(O)CH₂CH₂ \rightarrow methylcarbonylmethyl, $(2,4-dimethylphenyl)C(O)\dot{C}H_2-$, 4-methoxyphenyl-C(O)CH₂-, phenyl-C(O)CH₂-, $CH_3C(O)N(\phi)$ -, ethenyl, methylthiomethyl, $(CH_3)_3CNHC(O)CH_2$ -, 4-fluorophenyl-C(O)CH₂-, diphenylmethyl, phenoxymethyl, 3,4-methylenedioxyphenyl-CH₂-ybenzo[b]thiophen-3-yl, (CH₃)₃COC(O)NHCH₂-, trans-styryl, H₂NC(O)CH₂CH₂, \text{2-trifluoromethylphenyl-C(O)CH₂, ϕ C(O)NHCH(ϕ)CH₂-, mesityl, CH₃CH(=NHOH)CH₂-, 4-CH₃- ϕ -
- 20 CH₃CH₂OCH₂-, CH₃OC(O)CH(CH₃)(CH₂)₃-, 2,2,2-trifluoroethyl, 1-(trifluoromethyl)ethyl, 2-CH₃-benzofuran-3-yl, 2-(2,4-dichlorophenoxy)ethyl, φSO₂CH₂-, 3-cyclohexyl-*n*-propyl, CF₃CH₂CH₂CH₂- and N-pyrrolidinyl.

NHC(O)CH₂CH₂-, ϕ C(O)CH(ϕ)CH₂-,\(CH₃)₂CHC(O)NHCH(ϕ)-,

- 43. The pharmaceutical composition according to Claim 32 where *n* is one or two, and each R² is independently selected from the group consisting of alkyl, substituted alkyl, alkenyl, cycloalkyl, aryl, heteroaryl and heterocyclic.
 - 44. The pharmaceutical composition according to Claim 43 wherein R² is selected from the group consisting of methyl, ethyl, *n*-propyl, *iso*-propyl, *n*-butyl, *iso*-butyl, *sec*-butyl, *tert*-butyl, -CH₂CH(CH₂CH₃)₂, 2-methyl-*n*-butyl, 6-fluoro-*n*-hexyl, phenyl, benzyl, cyclohexyl, cyclohexyl, cycloheptyl, allyl,

 $is \partial_{\tau}$ but-2-enyl, 3-methylpentyl, -CH₂-cyclopropyl, -CH₂-cyclohexyl, -CH₂-CH₂cyclopropyl, -CH₂CH₂-cyclohexyl, -CH₂-indol-3-yl, p-(phenyl)phenyl, o-fluorophenyl, m-fluorophenyl, p-fluorophenyl, m-methoxyphenyl, pmethoxyghenyl, phenethyl, benzyl, m-hydroxybenzyl, p-hydroxybenzyl, p-5 nitrobenzy), m-trifluoromethylphenyl, p-(CH₃)₂NCH₂CH₂CH₂O-benzyl, $p-(CH_1)_3COC(O)CH_2O$ -benzyl, $p-(HOOCCH_2O)$ -benzyl, 2-aminopyrid-6-yl, p-(N-morpholino-CH₂CH₂O)-benzyl, -CH₂CH₂C(O)NH₂, -CH₂-imidazol-4-yl, -CH₂-(3-tetrahydrofuranyl), -CH₂-thiophen-2-yl, -CH₂(1-methyl)cyclopropyl, -CH₂-thiophen-3-yl, thiophen-2-yl, -CH₂-C(O)O-t-butyl, -CH₂-C(CH₃)₃, -CH₂CH(CH₂CH₃)₂, 2-methylcyclopentyl, cyclohex-2-enyl, 10 -CH[CH(CH₃)₂]COOC N_3 , -CH₂CH₂N(CH₃)₂, -CH₂C(CH₃) = CH₂, -CH₂CH=CHCH₃ (cis and trans), -CH₂OH, -CH(OH)CH₃, -CH(O-t-butyl)CH₃, -CH₂OCH₃, -(CH₂)₄NH-Boc, -(CH₂)₄NH₂, -CH₂-pyridyl, pyridyl, -CH₂-naphthyl, -CH₂-(N-morpholino), p-(N-morpholino-CH₂CH₂O)-benzyl, benzo[b]thiophen-2-yl, 5-chlorobenzo[b]thiophen-2-yl, 4,5,6,7-15 tetrahydrobenzo[b]thiophen-2-yl, benzo[b]thiophen-3-yl, 5chlorobenzo[b]thiophen-3-yl, benzo[b]thiophen-5-yl, 6-methoxynaphth-2-yl, -CH₂CH₂SCH₃, thien-2-yl, thien-3-yl, and the like.

- 20 45. The pharmaceutical composition according to Claim 32 wherein the cyclic groups defined by W and $-C(H)_pC(\pm X)$ is selected from the group consisting of lactones, lactams, thiolactones, thiolactams, heterocyclic and cycloalkyl groups.
- 25 46. The pharmaceutical composition according to Claim 45 wherein the cyclic group defined by W and $-C(H)_pC(=X)$ -, forms a lactam or thiolactam ring of the formula:



THE PERSON NAMED IN THE PE

*

30

35

wherein p is zero or one, T is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, $-(R^{21}Z)_qR_{21}$ - and $-ZR^{21}$ -where Z is a substituent selected from the group consisting of -O-, -S- and $> NR^{20}$, each R^{20} is independently selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, aryl, heteroaryl and heterocyclic, each R^{21} is independently alkylene, substituted alkylene, alkenylene and substituted alkenylene with the proviso that when Z is -O- or -S-, any unsaturation in the alkenylene and substituted alkenylene does not involve participation of the -O- or -S-, and q is an integer of from 1 to 3.

The method according to Claim 46 wherein the lactam ring is selected from the group consisting of

5

10

der fant gewen fan de f

13.

15

20

25

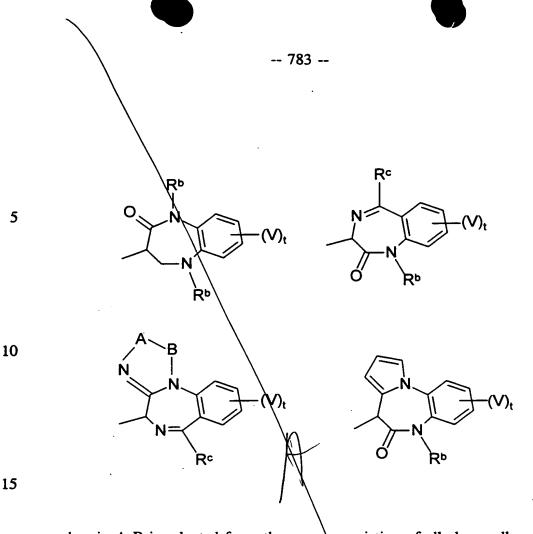
And the first of the same of t

$$(R^a)_w$$
 $(R^a)_w$
 $(R^b)_w$

$$(R^a)_w$$
 $(R^a)_w$
 (V)
 $(R^b)_w$
 (V)

$$(R^a)_w$$
 $(R^a)_w$
 $(R^b)_w$

$$(V)_t$$
 $(V)_t$
 $(V)_$



The second of th

20

25

30

wherein A-B is selected from the group consisting of alkylene, alkenylene, substituted alkylene, substituted alkenylene and -N=CH-; Q' is oxygen or sulfur; each V is independently selected from the group consisting of hydroxy, acyl, acyloxy, alkyl, substituted alkyl, alkoxy, substituted alkoxy, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, amino, aminoacyl, alkaryl, aryl, aryloxy, carboxyl, carboxylalkyl, cyano, halo, nitro, heteroaryl, thioalkoxy, substituted thioalkoxy, trihalomethyl and the like; R^a is selected from the group consisting of alkyl, substituted alkyl, alkoxy, substituted alkoxy, amino, carboxyl, carboxyl alkyl, cyano, halo, and the like; R^b is selected from the group consisting of alkyl, substituted alkyl, alkenyl, substituted alkenyl, acyl, aryl, heteroaryl, heterocyclic, and the like; R^c is selected from the group consisting of alkyl, substituted alkyl, alkenyl, substituted alkenyl, aryl, heteroaryl, heterocyclic, cycloalkyl, and substituted cycloalkyl; t is an integer from 0 to 4; t' is an integer from 0 to 3; and w is an integer from 0 to 3.

Ç(H)⊾

OH

CH

SH

. С(H)_р

48. The pharmaceutical composition according to Claim 45 wherein the cyclic group defined by W, together with $-C(H)_pC(=X)$ - is a ring of the formula:

5

10

15

or

20

25

30

35

wherein p is zero or one, T is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, -(R²¹Z)_oR₂₁- and -ZR²¹where Z is a substituent selected from the group consisting of -O-, -S- and > NR²⁰, each R²⁰ is independently selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkenyl, substituted alkynyl, aryl, heteroaryl and heterocyclic, each R²¹ is independently alkylene, substituted alkylene, alkenylene and substituted alkenylene with the proviso that when Z is -O- or -S-, any unsaturation in the alkenylene and

49. The pharmaceutical composition according to Claim 48 wherein the alcohol or thiol substituted groups is selected from the group consisting of

$$(V)_t$$
 $(R^a)_w$
 $(V)_t$
 $(R^a)_w$
 $(V)_t$
 $(R^a)_w$
 $(R^a)_w$
 $(R^a)_w$
 $(R^a)_w$
 $(R^a)_w$

wherein each V is independently selected from the group consisting of hydroxy, acyl, acyloxy, alkyl, substituted alkyl, alkoxy, substituted alkoxy, alkenyl, substituted alkynyl, amino, aminoacyl, alkaryl, aryl, aryloxy, carboxyl, carboxylalkyl, cyano, halo, nitro, heteroaryl, thioalkoxy, substituted thioalkoxy, trihalomethyl and the like; Ra is selected from the group consisting of alkyl, substituted alkyl, alkoxy, substituted alkoxy, amino, carboxyl, carboxyl alkyl, cyano, halo, and the like; t is an integer from 0 to 4; and w is an integer from 0 to 3.

10

15

5

20

. С(Н)_р

CH₂

50. The pharmaceutical composition according to Claim 45 wherein the cyclic group defined by W, together with $-C(H)_pC(=X)$ -, forms a ring of the formula:

NR²⁰

5

10

15

20

25

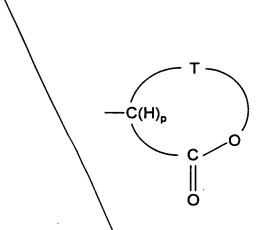
wherein p is zero or one, T is selected from the group consisting of alkylene, substituted alkylene, alkenylene substituted alkenylene, $-(R^{21}Z)_qR_{21}$ - and $-ZR^{21}$ -where Z is a substituent selected from the group consisting of -O-, -S- and $> NR^{20}$, each R^{20} is independently selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, aryl, heteroaryl and heterocyclic, each R^{21} is independently alkylene, substituted alkylene, alkenylene and substituted alkenylene with the proviso that when Z is -O- or -S-, any unsaturation in the alkenylene and substituted alkenylene does not involve participation of the -O- or -S-, and q is

30

an integer of from 1 to 3.

51. The pharmaceutical composition according to Claim 45 wherein the cyclic group defined by W, together with $-C(H)_pC(=X)$ -, forms a ring of the formula:





20

The state of the s

ų,

wherein p is zero or one. T is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, $-(R^{21}Z)_qR_{21}$ - and $-ZR^{21}$ -where Z is a substituent selected from the group consisting of -O-, -S- and $> NR^{20}$, each R^{20} is independently selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, aryl, heteroaryl and heterocyclic, each R^{21} is independently alkylene, substituted alkylene, alkenylene and substituted alkenylene with the proviso that when Z is -O- or -S-, any unsaturation in the alkenylene and substituted alkenylene does not involve participation of the -O- or -S-, and q is an integer of from 1 to 3.

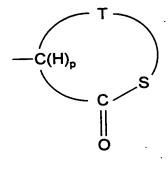
25

52. The pharmaceutical composition according to Claim 51 wherein the compound of formula I is selected from the group consisting of

والمتأثلة

wherein each V is independently selected from the group consisting of hydroxy, acyl, acyloxy, alkyl, substituted alkyl, alkoxy, substituted alkoxy, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, amino, aminoacyl, alkaryl, aryl, aryloxy, carboxyl, carboxylalkyl, cyano, halo, nitro, heteroaryl, thioalkoxy, substituted thioalkoxy, trihalomethyl and the like; R^a is selected from the group consisting of lalkyl, substituted alkyl, alkoxy, substituted alkoxy, amino, carboxyl, carboxyl alkyl, cyano, halo, and the like; t is an integer from 0 to 4; and w is an integer from 0 to 3.

53. The pharmaceutical composition according to Claim 45 wherein the cyclic group defined by W, together with $-C(H)_pC(=X)$ -, forms a ring of the formula:



54. The pharmaceutical composition according to Claim 45 wherein the cyclic group defined by W, together with $-C(H)_pC(=X)$ -, forms a ring of the formula:

15

10

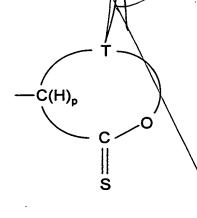
The said Arm has been freely than the said than the said than the said that the said t

5

20

25

30



wherein p is zero or one, T is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, $-(R^{21}Z)_qR_{21}$ - and $-ZR^{21}$ -where Z is a substituent selected from the group consisting of -O-, -S- and $>NR^{20}$, each R^{20} is independently selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, aryl, heteroaryl and heterocyclic, each R^{21} is independently alkylene, substituted alkylene, alkenylene and substituted alkenylene with the proviso that when Z is -O- or -S-, any unsaturation in the alkenylene and

substituted alkenylene does not involve participation of the -O- or -S-, and q is an integer of from 1 to 3.

55. The pharmaceutical composition according to Claim 45 wherein the cyclic group defined by W, together with $-C(H)_pC(=X)$ -, forms a ring of the formula:

T — C(H), C — S

20

25

15

5

10

wherein p is zero or one, T/is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, $-(R^{21}Z)_qR_{21}$ - and $-ZR^{21}$ -where Z is a substituent selected from the group consisting of -O-, -S- and $>NR^{20}$, each R^{20} is independently selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, aryl, heteroaryl and heterocyclic, each R^{21} is independently alkylene, substituted alkylene, alkenylene and substituted alkenylene with the proviso that when Z is -O- or -S-, any unsaturation in the alkenylene and substituted alkenylene does not involve participation of the -O- or -S-, and q is an integer of from 1 to 3.

30

56. The pharmaceutical composition according to Claim 45 wherein the cyclic group defined by W, together with $-C(H)_pC(=X)$ -, forms a ring of the formula:

Ċ(H)_p

15

20

And the state of t

42

where Z is a substituent selected from the group consisting of -O-, -S- and $> NR^{20}$, each R^{20} is independently selected from the group consisting of alkyl,

alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl,

substituted alkynyl, aryl, heteroaryl and heterocyclic, each R²¹ is independently

alkylene, substituted alkylene, alkenylene and substituted alkenylene with the

proviso that when Z is -O- or \S-, any unsaturation in the alkenylene and

substituted alkenylene does not involve participation of the -O- or -S-, and q is

an integer of from 1 to 3.

57. The pharmaceutical composition according to Claim 56 wherein the

compound of formula I is selected from the group consisting of:

30

25

int:

58. The pharmaceutical composition according to Claim 45 wherein the cyclic group defined by W, together with $-C(H)_pC(=X)$ -, forms a ring of the formula:

5

10

15

20

25

C(H)_p C || S

wherein p is zero or one, T is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, $-(R^{21}Z)_qR_{21}$ - and $-ZR^{21}$ -where Z is a substituent selected from the group consisting of -O-, -S- and $> NR^{20}$, each R^{20} is independently selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, aryl, heteroaryl and heterocyclic, each R^{21} is independently alkylene, substituted alkylene, alkenylene and substituted alkenylene with the proviso that when Z is -O- or -S-, any unsaturation in the alkenylene and substituted alkenylene does not involve participation of the -O- or -S-, and q is an integer of from 1 to 3.

CH

SH

ناتعنا

The pharmaceutical composition according to Claim 45 wherein the cyclic group defined by W, together with $-C(H)_pC(=X)$ -, forms a ring of the formula:

5

10

15

20

25

wherein p is zero or one, T is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, $-(R^{21}Z)_qR_{21}$ - and $-ZR^{21}$ -where Z is a substituent selected from the group consisting of -O-, -S- and $>NR^{20}$, each R^{20} is independently selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, aryl, heteroaryl and heterocyclic, each R^{21} is independently alkylene, substituted alkylene, alkenylene and substituted alkenylene with the proviso that when Z is -O- or -S-, any unsaturation in the alkenylene and substituted alkenylene does not involve participation of the -O- or -S-, and q is an integer of from 1 to 3.

5 .

10

15

20

25

30

Ċ(H)_Р NR20R20

wherein p is zero or one, T is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, $-(R^{21}Z)_qR_{21}$ - and $-ZR^{21}$ where Z is a substituent selected from the group consisting of -O-, -S- and >NR²⁰, each R²⁰ is independently selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, aryl, heteroaryl and heterocyclic, each R21 is independently alkylene, substituted alkylene, alkenylene and substituted alkenylene with the proviso that when Z is -O- or -S-, any unsaturation in the alkenylene and substituted alkenylene does not involve participation of the -O- or -S-, and q is an integer of from 1 to 3.

الجلا

10

15

20

wherein R¹ is selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, substituted cycloalkyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

X

I

25 30

W. together with -C(H), C(† X)-, forms a cycloalkyl, cycloalkenyl, heterocyclic, substituted cycloalkyl, or substituted cycloalkenyl group wherein each of said cycloalkyl, cycloalkenyl, heterocyclic, substituted cycloalkyl or substituted cycloalkenyl group is optionally fused to form a bi- or multi-fused ring system (preferably no more than 5 fused rings) with one or more ring structures selected from the group consisting of cycloalkyl, cycloalkenyl, heterocyclic, aryl and heteroaryl group which, in turn, each of such ring structures are optionally substituted with 1\to 4 substituents selected from the group consisting of hydroxyl, halo, alkoxy, substituted alkoxy, thioalkoxy, substituted thioalkoxy, nitro, cyano, carboxyl\ carboxyl esters, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, amino, Nalkylamino, N,N-dialkylamino, N-substituted alkylamino, N-alkyl N-substituted alkylamino, N,N-disubstituted alkylamino, -NHC(Q)R⁴, -NHSO₂R⁴, -C(O)NH₂, $-C(O)NHR^4$, $-C(O)NR^4R^4$, $-S(O)R^4$, $-S(O)_2R^4$, $-S(O)_3NHR^4$ and $-S(O)_2NR^4R^4$ where each R4 is independently selected from the group consisting of alkyl, substituted alkyl, or aryl;

X is selected from the group consisting of oxo (=0), thiooxo (=S), hydroxyl (-H, -OH), thiol (H, -SH) and hydro (H, H);

Y is represented by the formula:

11

 R^2

5

10

15

wherein each R² is independently selected from the group consisting of alkyl, substituted alkyl, alkenyl, substituted alkynyl, substituted alkynyl, cycloalkyl, aryl, heteroaryl and heterocyclic;

20

Z is represented by the formula -T-CX'X"C(O)- where T is selected from the group consisting of a bond covalently linking R¹ to -CX'X"-, oxygen, sulfur, -NR⁵ where R⁵ is hydrogen, acyl, alkyl, aryl or heteroaryl group;

X' is hydrogen, hydroxy or fluoro,

X" is hydrogen, hydroxy or fluoro or X' and X" together form an oxo group;

25

m is an integer equal to 0 or 1;

n is an integer equal to 0, 1 or 2;

p is an integer equal to 0 or 1 such that when p is zero, the ring defined by W and $-C(H)_pC(=X)$ - is unsaturated at the carbon atom of ring attachment to Y and when p is one, the ring is saturated at the carbon atom of ring attachment to Y,

30

with the following provisos:

A. when R^1 is 3,5-difluorophenyl, R^2 is $-CH_3\setminus Z$ is $-CH_2C(O)$ -, m is 1, n is 1, and p is 1, then W, together with >CH and >C=X, does not form a 2-(S)-indanol group;

10

15

20

25

B. when R^1 is phenyl, R^2 is $-CH_3$, Z is $-CH_2C(O)$ -, m is 1, n is 1, and p is 1, then W, together with >CH and >C=X, does not form a trans-2-hydroxy-cyclohex- 1_7 yl group;

- C. when R^1 is phenyl, Z is -CH₂C(O)-, m is 1, n is 0, and p is 1, then W, together with >CH and >C=X, does not form a gammabutyrolactone group or a 5,5-dimethyl-gammabutyrolactone group;
- D. when R^1 is phenyl, Z is $-CH_2C(O)$ -, m is 1, n is 0, and p is 1, then W, together with >CH and >C=X, does not form a ϵ -caprolactam group;
- E. when R^1 is cyclopropyl, R^2 is $-CH_3$, Z is $-CH_2C(O)$ -, m is 1, n is 1, and p is 1, then W, together with >CH and >C=X, does not form an N-methylcaprolactam group.
 - F. when R^1 is 4-chlorobenzoyl- CH_2 -, R^2 is - CH_3 , Z is - $CH_2C(O)$ -, m is 1, n is 1, and p is 1, then W, together with > CH and > C=X, does not form an 2,3-dihydro-1-methyl-S-phenyl-1H-1,4-benzodiazepin-2-one;
 - G. when R^1 is 2-phenylphenyl, R^2 is $-CH_3$, Z is $-CH_2C(O)$ -, m is 1, n is 1, and p is 1, then W, together with >CH and >C=X, does not form an 7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one;
 - H. when R^1 is $CH_3OC(O)CH_2$, R^2 is $-CH_3$, Z is $-CH_2C(O)$ -, m is 1, n is 1, and p is 1, then W, together with > CH and > C = X, does not form an 2,3-dihydro-1-(t-butylC(O)CH₂-)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;
 - I. when R^1 is 4-ethoxyphenyl, 2,4,6-trimethylphenyl, 4-phenylphenyl, $CH_3OC(O)CH_2$ -, 4-HOCH₂-phenyl, 2,4,6-trifluorophenyl, 2-trifluoromethyl-4-fluorophenyl, or CH_3S -, R^2 is $-CH_3$, Z is $-CH_2C(O)$ -, m is 1, n is 1, and p is 1, then W, together with >CH and >C=X, does not form a 2,3-dihydro-1-(N,N-diethylamino- CH_2CH^2 -)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;
 - J. when R^1 is 2,6-difluorophenyl, R^2 is -CH₃, Z is -CH(OH)C(O)-, m is 1, n is 1, and p is 1, then W, together with >CH\and >C=X, does not form a 2,3-dihydro-1-(N,N-diethylamino-CH₂CH²-)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one,
- 30 K. when m is 1 and n is 1, then

10

15

does not equal cycloalkyl of from 3 to 8 carbon atoms optionally substituted with 1 to 3 alkyl groups.

- 62. The compound according to Claim 61 where, in formula I, m is zero.
- 20 63. The compound according to Claim 62 wherein R¹ is aryl or heteroaryl.
 - 64. The compound according to Claim 63 wherein R¹ is selected from the group consisting of
- 25 (a) phenyl,
 - (b) a substituted phenyl group of the formula:

30

35

5

15

20

25

30

 wherein R^c is selected from the group consisting of acyl, alkyl, alkoxy, alkylalkoxy, azido, cyano, halo, hydrogen, nitro, trihalomethyl, thioalkoxy, and wherein R^b and R^c are fused to form a heteroaryl or heterocyclic ring with the phenyl ring wherein the heteroaryl or heterocyclic ring contains from 3 to 8 atoms of which from 1 to 3 are heteroatoms independently selected from the group consisting of oxygen, nitrogen and sulfur

R^b and R^{b'} are independently selected from the group consisting of hydrogen, halo, nitro, cyano, trihalomethyl, alkoxy, and thioalkoxy with the proviso that when R^c is hydrogen, then R^b and R^{b'} are either both hydrogen or both substituents other than hydrogen,

- (c) 2-naphthyl,
- (d) 2-naphthyl substituted at the 4, 5, 6, 7 and/or 8 positions with 1 to 5 substituents selected from the group consisting alkyl, alkoxy, halo, cyano, nitro, trihalomethyl, thioalkoxy, arylland heteroaryl,
 - (e) heteroaryl, and
- (f) substituted heteroaryl containing 1 to 3 substituents selected from the group consisting of alkyl, alkoxy, aryl, aryloxy, cyano, halo, nitro, heteroaryl, thioalkoxy, thioaryloxy provided that said substituents are not *ortho* to the heteroaryl attachment to the -NH group
- 65. The compound according to Claim 61 wherein R¹ is selected from the group consisting of mono-, di- and tri-substituted phenyl groups.
- 66. The compound according to Claim 65 wherein R¹ is a disubstituted phenyl selected from the group consisting of 3,5-dichlorophenyl, 3,5-difluorophenyl, 3,5-di(trifluoromethyl)-phenyl, 3,4-dichlorophenyl, 3,4-difluorophenyl, 3-(trifluoromethyl)-4-chlorophenyl, 3-chloro-4-cyanophenyl, 3-chloro-4-iodophenyl, and 3,4-methylenedioxyphenyl.
 - 67. The compound according to Claim 65 wherein R¹ is a monosubstituted phenyl selected from the group consisting of

4-azidophenyl, 4-bromophenyl, 4-chlorophenyl, 4-cyanophenyl, 4-ethylphenyl, 4-fluorophenyl, 4-iodophenyl, 4-(phenylcarbonyl)-phenyl, and 4-(1-ethoxy)ethylphenyl.

- 5 68. The compound according to Claim 65 wherein R¹ is a trisubstituted phenyl selected from the group consisting of 3,4,5-trifluorophenyl and 3,4,5-trichlorophenyl.
- 69. The compound according to Claim 61 wherein R¹ is selected from 2-naphthyl, quinolin-3-yl, 2-methylquinolin-6-yl, benzothiazol-6-yl, 5-indolyl, and phenyl.
 - 70. The compound according to any of Claim 61 wherein m is one.
- 15 71. The compound according to Claim 70 wherein R¹ is selected from the group consisting of phenyl, 1-naphthyl, 2-naphthyl, 2-naphthyl, 2-chlorophenyl, 2-fluorophenyl, 2-bromophenyl, 2-hydroxyphenyl, 2-nitrophenyl, 2-methylphenyl, 2-methoxyphenyl, 2-phenoxyphenyl, 2-trifluoromethylphenyl, 4-fluorophenyl, 4-chlorophenyl, 4-bromophenyl, 4-nitrophenyl, 4-methylphenyl, 4-hydroxyphenyl, 4-methoxyphenyl, 4-ethoxyphenyl, 4-butoxyphenyl, 4-iso-propylphenyl, 4-phenoxyphenyl, 4-trifluoromethylphenyl, 4-hydroxymethylphenyl, 3-methoxyphenyl, 3-hydroxyphenyl, 3-nitrophenyl, 3-fluorophenyl, 3-chlorophenyl, 3-bromophenyl, 3-phenoxyphenyl, 3-thiomethoxyphenyl, 3-methylphenyl,
- 3-trifluoromethylphenyl, 2,3-dichlorophenyl, 2,3-difluorophenyl, 2,4-dichlorophenyl, 2,5-dimethoxyphenyl, 3,4-dichlorophenyl, 3,4-difluorophenyl, 3,4-difluorophenyl, 3,5-difluorophenyl, 3,5-dichlorophenyl, 3,5-di-(trifluoromethyl)phenyl, 3,5-dimethoxyphenyl, 2,4-dichlorophenyl, 2,4-difluorophenyl, 2,6-difluorophenyl, 3,4,5-trifluorophenyl, 3,4,5-trifluorophenyl, 2,4,6-trifluorophenyl, 2,4,6-trifluoromethyl)phenyl, 2,4,6-trifluoromethyl)phenyl,

2,3,5-trifluorophenyl, 2,4,5-trifluorophenyl, 2,5-difluorophenyl, 2-fluoro-3-trifluoromethylphenyl, 4-fluoro-2-trifluoromethylphenyl, 2-fluoro-4-trifluoromethylphenyl, 4-benzyloxyphenyl, 2-chloro-6-fluorophenyl, 2,3,4,5,6-pentafluorophenyl, 2,5-dimethylphenyl,

- 4-phenylphenyl, 2-fluoro-3-trifluoromethylphenyl, adamantyl, benzyl, 2-phenylethyl, 3-phenyl-n-propyl, 4-phenyl-n-butyl, methyl, ethyl, n-propyl, iso-propyl, iso-butyl, sec-butyl, tert-butyl, n-pentyl, iso-valeryl, n-hexyl, cyclopropyl, cyclobutyl, cyclohexyl, cyclopentyl, cyclopent-1-enyl, cyclopent-2-enyl, cyclohex-1-enyl, -CH₂-cyclopropyl, -CH₂-cyclobutyl, -CH₂-cyclohexyl,
- -CH₂-cyclopentyl, -CH₂CH₂-cyclopropyl, -CH₂CH₂-cyclobutyl,
 -CH₂CH₂-cyclohexyl, -CH₂CH₂-cyclopentyl, pyrid-2-yl, pyrid-3-yl, pyrid-4-yl,
 fluoropyridyls, chloropyridyls, thien-2-yl, thien-3-yl, benzothiazol-4-yl,
 2-phenylbenzoxazol-5-yl, furan-2-yl, benzofuran-2-yl, thionaphthen-2-yl,
 thionaphthen-3-yl, thionaphthen-4-yl, 2-chlorothiophen-5-yl, 3-methylisoxazol-5-yl, 2-(thiophenyl)thien-5-yl, denethoxythionaphthen-2-yl, 3-phenyl-1,2,4-
- yl, 2-(thiophenyl)thien-5-yl, φ methoxythionaphthen-2-yl, 3-phenyl-1,2,4thiooxadiazol-5-yl, 2-phenyloxazol-4-yl, indol-3-yl, 1-phenyl-tetraol-5-yl, allyl, 2-(cyclohexyl)ethyl, (CH₃)₂CH=CHCH₂CH₂CH(CH₃)-, φC(O)CH₂-, thien-2-ylmethyl, 2-(thien-2-yl)ethyl, 3-(thien-2-yl)-*n*-propyl, 2-(4-nitrophenyl)ethyl, 2-(4-methoxyphenyl)ethyl, norboran-2-yl, (4-methoxyphenyl)methyl,
- (2-methoxyphenyl)methyl, (3-methoxyphenyl)methyl, (3-hydroxyphenyl)methyl, (4-hydroxyphenyl)methyl, (4-methoxyphenyl)methyl, (4-methylphenyl)methyl, (4-fluorophenoxy)methyl, (2,4-dichlorophenoxy)ethyl, (4-chlorophenyl)methyl, (2-chlorophenyl)methyl, (1-phenyl)ethyl, (1-(p-chlorophenyl)ethyl, (1-trifluoromethyl)ethyl, (4-methoxyphenyl)ethyl,
- 25 $CH_3OC(O)CH_2$ -, benzylthiomethyl, 5-(methoxycarbonyl)-n-pentyl, 3-(methoxycarbonyl)-n-propyl, indan-2-yl, (2-methylbenzofuran-3-yl), methoxymethyl, $CH_3CH=CH$ -, $CH_3CH_2CH=CH$ -, (4-chlorophenyl) $C(O)CH_2$ -, (4-fluorophenyl) $C(O)CH_2$ -, (4-methoxyphenyl) $C(O)CH_2$ -, 4-(fluorophenyl)-NHC(O) CH_2 -, 1-phenyl-n-butyl, (ϕ)₂ $CHNHC(O)CH_2$ CH₂-, (CH_3)₂ $NC(O)CH_2$ -,

30 $(\phi)_2$ CHNHC(O)CH₂CH₂-, methylcarbonylmethyl,

73.

(2,4-dimethylphenyl)C(O)CH₂-, 4-methoxyphenyl-C(O)CH₂-, phenyl-C(O)CH₂-, CH₃C(O)N(φ)-, ethenyl, methylthiomethyl, (CH₃)₃CNHC(O)CH₂-, 4-fluorophenyl-C(O)CH₂-, diphenylmethyl, phenoxymethyl, 3,4-methylenedioxyphenyl-CH₂-, benzo[b]thiophen-3-yl, (CH₃)₃COC(O)NHCH₂-, trans-styryl, H₂NC(O)CH₂CH₂-, 2-trifluoromethylphenyl-C(O)CH₂, φC(O)NHCH(φ)CH₂-, mesityl, CH₃CH(=NHOH)CH₂-, 4-CH₃-φ-NHC(O)CH₂CH₂-, φC(O)CH(φ)CH₂-, (CH₃)₂CHC(O)NHCH(φ)-, CH₃CH₂OCH₂-, CH₃OC(O)CH(CH₃)(CH₂)₃-, 2,2,2-trifluoroethyl, 1-(trifluoromethyl)ethyl, 2-CH₃-benzofuran-3-yl, 2-(2,4-dichlorophenoxy)ethyl, φSO₂CH₂-, 3-cyclohexyl-n-propyl, CF₃CH₂CH₂CH₂- and N-pyrrolidinyl.

72. The compound according to Claim 61 where n is one or two, and each R^2 is independently selected from the group consisting of alkyl, substituted alkyl, alkenyl, cycloalkyl, and heterocyclic.

The compound according to Claim 61 wherein R² is selected from

the group consisting of methyl, ethyl, *n*-propyl, *iso*-propyl, *n*-butyl, *iso*-butyl, *sec*-butyl, *tert*-butyl, -CH₂CH(CH₂CH₃)₂, 2-methyl-*n*-butyl,

6-fluoro-*n*-hexyl, phenyl, benzyl, cyclohexyl, cyclopentyl, cycloheptyl, allyl,

iso-but-2-enyl, 3-methylpentyl, -CH₂-cyclopropyl, -CH₂-cyclohexyl, -CH₂-cyclohexyl, -CH₂-cyclohexyl, -CH₂-cyclohexyl, p-(phenyl)phenyl,

o-fluorophenyl, *m*-fluorophenyl, *p*-fluorophenyl, *m*-methoxyphenyl, *p*
methoxyphenyl, phenethyl, benzyl, *m*-hydroxybenzyl, *p*-hydroxybenzyl, *p*
nitrobenzyl, *m*-trifluoromethylphenyl, *p*-(CH₃)₂NCH₂CH₂CH₂O-benzyl,

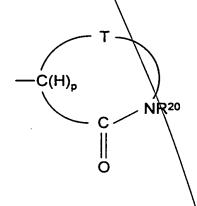
p-(CH₃)₃COC(O)CH₂O-benzyl, *p*-(HOOCCH₂O)-benzyl, 2-aminopyrid-6-yl,

p-(N-morpholino-CH₂CH₂O)-benzyl, -CH₂CH₂C(O)NH₂, -CH₂-imidazol-4-yl, -CH₂-(3-tetrahydrofuranyl), -CH₂-thiophen-2-yl, -CH₂(1-methyl)cyclopropyl, -CH₂-thiophen-3-yl, thiophen-3-yl, thiophen-2-yl, -CH₂-C(O)O-t-butyl, -CH₂-C(CH₃)₃, -CH₂CH(CH₂CH₃)₂, 2-methylcyclopentyl, cyclohex-2-enyl,

-CH[CH(CH₃)₂]COOCH₃, -CH₂CH₂N(CH₃)₂, -CH₂C(CH₃)=CH₂,

-CH₂CH=CHCH₃ (cis and trans), -CH₂OH, -CH(OH)CH₃, -CH(O-*t*-butyl)CH₃, -CH₂OCH₃, -(CH₂)₄NH-Boc, -(CH₂)₄NH₂, -CH₂-pyridyl, pyridyl, -CH₂-naphthyl, -CH₂-(N-morpholino), *p*-(N-morpholino-CH₂CH₂O)-benzyl, benzo[b]thiophen-2-yl, 5-chlorobenzo[b]thiophen-2-yl, 4,5,6,7-tetrahydrobenzo[b]thiophen-2-yl, benzo[b]thiophen-3-yl, 5-chlorobenzo[b]thiophen-3-yl, benzo[b]thiophen-5-yl, 6-methoxynaphth-2-yl, -CH₂CH₂SCH₃, thien-2-yl, thien-3-yl, and the like.

- 74. The compound according to Claim 61 wherein the cyclic groups defined by W and $-C(H)_pC(=X)$ is selected from the group consisting of lactones, lactams, thiolactones, thiolactams, heterocyclic and cycloalkyl groups.
- 75. The compound according to Claim 74 wherein the cyclic group defined by W and -C(H), C(=X)-, forms a lactam or thiolactam ring of the formula:



20

15

5

10

25

Company of the control of the contro

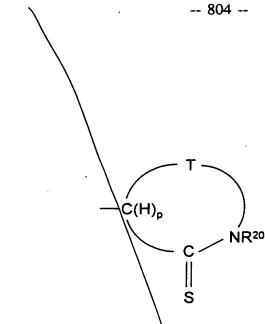
5

10

15

20

or



wherein p is zero or one, T is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, - $(R^{21}Z)_qR_{21}$ - and - ZR^{21} where Z is a substituent selected from the group consisting of -O-, -S- and > NR²⁰, each R²⁰ is independently selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, aryl, heteroaryl and heterocyclic, each R21 is independently alkylene, substituted alkylene, alkenylene and substituted alkenylene with the proviso that when Z is -O- or -S-, any unsaturation in the alkenylene and substituted alkenylene does not involve participation of the -O- or -S-, and q is an integer of from 1 to 3.

15

The first of the control of the cont

20

25

of the first of the water from that the trade that the first of the fi

$$(R^{a})_{w}$$

$$(R^{a})_{w}$$

$$(R^{a})_{w}$$

$$(R^{a})_{w}$$

$$(R^{a})_{w}$$

$$(V)_{t}$$

$$(R^{a})_{w}$$

$$(V)_{t}$$

$$(R^{a})_{w}$$

$$(V)_{t}$$

$$(R^{a})_{w}$$

$$(V)_{t}$$

$$(R^{a})_{w}$$

$$(V)_{t}$$

$$(R^{a})_{w}$$

$$(V)_{t}$$

$$(V)_{t}$$

$$(R^{a})_{w}$$

$$(V)_{t}$$

`Rb

10

30

wherein A-B is selected from the group consisting of alkylene, alkenylene, substituted alkylene, substituted alkenylene and -N=CH-; Q' is oxygen or 15 sulfur; each V is independently selected from the group consisting of hydroxy, acyl, acyloxy, alkyl, substituted alkyl, alkoxy, substituted alkoxy, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, amino, aminoacyl, alkaryl, aryl, aryloxy, carboxyl, carboxylalkyl, cyano, halo, nitro, heteroaryl, 20 thioalkoxy, substituted thioalkoxy, trihalomethyl and the like; Ra is selected from the group consisting of alkyl, substituted alkyl, alkoxy, substituted alkoxy, amino, carboxyl, carboxyl alkyl, cyano, halo, and the like; R^b is selected from the group consisting of alkyl, substituted alkyl,\alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, acyl, aryl, heteroaryl, heterocyclic, and the like; 25 R^c is selected from the group consisting of alkyl, substituted alkyl, alkenyl, substituted alkenyl, aryl, heteroaryl, heterocyclic, cycloalkyl, and substituted cycloalkyl; t is an integer from 0 to 4; t' is an integer from 0 to 3; and w is an integer from 0 to 3.

77. The compound according to Claim 74 wherein the cyclic group defined by W, together with $-C(H)_pC(=X)$ - is a ring of the formula:

C(H)_p

Ċ(H)_p

OH

SH



10

or

15

20

25

30

35

wherein p is zero or one, T is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, $-(R^{21}Z)_qR_{21}$ - and $-ZR^{21}$ - where Z is a substituent selected from the group consisting of -O-, -S- and $> NR^{20}$, each R^{20} is independently selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, aryl, heteroaryl and heterocyclic, each R^{21} is independently alkylene, substituted alkylene, alkenylene and substituted alkenylene with the proviso that when Z is -O- or -S-, any unsaturation in the alkenylene and substituted alkenylene does not involve participation of the -O- or -S-, and q is an integer of from 1 to 3.

78. The compound according to Claim 77 wherein the alcohol or thiol substituted groups is selected from the group consisting of

the first that the second of t

, j

THE PARTY OF THE STATE OF THE S

wherein each V is independently selected from the group consisting of hydroxy, acyl, acyloxy, alkyl, substituted alkyl, alkoxy, substituted alkoxy, alkenyl, substituted alkynyl, amino, aminoacyl, alkaryl, aryl, aryloxy, carboxyl, carboxylalkyl, cyano, halo, nitro, heteroaryl, thioalkoxy, substituted thioalkoxy, trihalomethyl and the like; R^a is selected from the group consisting of alkyl, substituted alkyl, alkoxy, substituted alkoxy, amino, carboxyl, carboxyl alkyl, cyano, halo, and the like; t is an integer from 0 to 4; and w is an integer from 0 to 3.

10

15

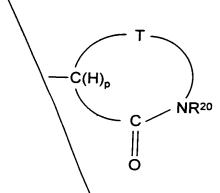
20

25

30

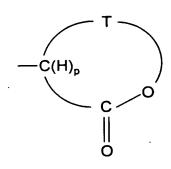
35

79. The compound according to Claim 74 wherein the cyclic group defined by W, together with $-C(H)_0C(=X)$ -, forms a ring of the formula:



wherein p is zero or one, T is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, $-(R^{21}Z)_qR_{21}$ - and $-ZR^{21}$ -where Z is a substituent selected from the group consisting of -O-, -S- and $>NR^{20}$, each R^{20} is independently selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, aryl, heteroaryl and heterocyclic, each R^{21} is independently alkylene, substituted alkylene, alkenylene and substituted alkenylene with the proviso that when Z is -O- or -S-, any unsaturation in the alkenylene and substituted alkenylene does not involve participation of the -O- or -S-, and q is an integer of from 1 to 3.

80. The compound according to Claim 74 wherein the cyclic group defined by W, together with $-C(H)_pC(=X)$ -, forms a ring of the formula:



10

15

20

25

30

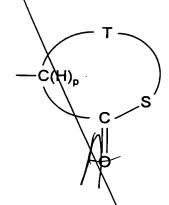
wherein p is zero or one, T is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, $-(R^{21}Z)_qR_{21}$ - and $-ZR^{21}$ -where Z is a substituent selected from the group consisting of -O-, -S- and $> NR^{20}$, each R^{20} is independently selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkynyl, substituted alkynyl, aryl, heteroaryl and heterocyclic, each R^{21} is independently alkylene, substituted alkylene, alkenylene and substituted alkenylene with the proviso that when Z is -O- or -S-, any unsaturation in the alkenylene and substituted alkenylene does not involve participation of the -O- or -S-, and q is an integer of from 1 to 3.

81. The compound according to Claim 80 wherein the compound of formula I is selected from the group consisting of

wherein each V is independently selected from the group consisting of hydroxy, acyl, acyloxy, alkyl, substituted alkyl, alkoxy, substituted alkoxy, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, amino, aminoacyl, alkaryl,

aryl, aryloxy, carboxyl, carboxylalkyl, cyano, halo, nitro, heteroaryl, thioalkoxy, substituted thioalkoxy, trihalomethyl and the like; R^a is selected from the group consisting of alkyl, substituted alkyl, alkoxy, substituted alkoxy, amino, carboxyl, carboxyl alkyl, cyano, halo, and the like; t is an integer from 0 to 4; and w is an integer from 0 to 3.

82. The compound according to Claim 74 wherein the cyclic group defined by W, together with $-C(H)_{D}C(=X)$ -, forms a ring of the formula:



wherein p is zero or one, T is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, $-(R^{21}Z)_qR_{21}$ - and $-ZR^{21}$ -where Z is a substituent selected from the group consisting of -O-, -S- and $>NR^{20}$, each R^{20} is independently selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, aryl, heteroaryl and heterocyclic, each R^{21} is independently alkylene, substituted alkylene, alkenylene and substituted alkenylene with the proviso that when Z is -O- or -S-, any unsaturation in the alkenylene and substituted alkenylene does not involve participation of the -O- or -S-, and q is an integer of from 1 to 3.

83. The compound according to Claim 74 wherein the cyclic group defined by W, together with $-C(H)_pC(=X)$ -, forms a ring of the formula:

10

5

15

20

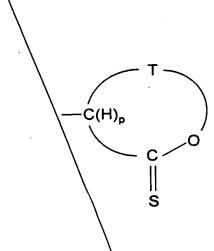
25

30



15

The second secon



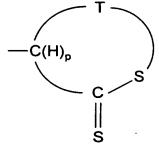
wherein p is zero or one, T is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, $-(R^{21}Z)_qR_{21}$ - and $-ZR^{21}$ -where Z is a substituent selected from the group consisting of -O-, -S- and $>NR^{20}$, each R^{20} is independently selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkynyl, substituted alkynyl, aryl, heteroaryl and heterocyclic, each R^{21} is independently alkylene, substituted alkylene, alkenylene and substituted alkenylene with the proviso that when Z is -O- or -S-, any unsaturation in the alkenylene and substituted alkenylene does not involve participation of the -O- or -S-, and q is an integer of from 1 to 3.

25

20

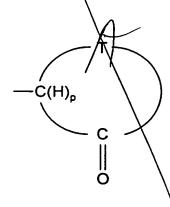
84. The compound according to Claim 74 wherein the cyclic group defined by W, together with $-C(H)_pC(=X)$ -, forms a ring of the formula:

30



wherein p is zero or one, T is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, $-(R^{21}Z)_qR_{21}$ - and $-ZR^{21}$ -where Z is a substituent selected from the group consisting of -O-, -S- and $> NR^{20}$, each R^{20} is independently selected from the group consisting of alkyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkynyl, substituted alkynyl, aryl, heteroaryl and heterocyclic, each R^{21} is independently alkylene, substituted alkylene, alkenylene and substituted alkenylene with the proviso that when Z is -O- or -S-, any unsaturation in the alkenylene and substituted alkenylene does not involve participation of the -O- or -S-, and q is an integer of from 1 to 3.

85. The compound according to Claim 74 wherein the cyclic group defined by W, together with $-C(H)_pC(=X)$ -, forms a ring of the formula:



25

30

35

5

10

15

20

wherein p is zero or one, T is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, $-(R^{21}Z)_qR_{21}$ - and $-ZR^{21}$ -where Z is a substituent selected from the group consisting of -O-, -S- and $> NR^{20}$, each R^{20} is independently selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, aryl, heteroaryl and heterocyclic, each R^{21} is independently alkylene, substituted alkylene, alkenylene and substituted alkenylene with the proviso that when Z is -O- or -S-, any unsaturation in the alkenylene and

15

20

substituted alkenylene does not involve participation of the -O- or -S-, and q is an integer of from 1 to 3.

86. The compound according to Claim 85 wherein the compound of formula I is selected from the group consisting of:

$$(V)_{t}$$

$$(R^{a})_{w}$$

$$(V)_{t}$$

$$(R^{a})_{w}$$

$$(V)_{t}$$

$$(R^{a})_{w}$$

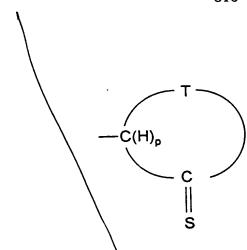
87. The compound according to Claim 74 wherein the cyclic group defined by W, together with $-C(H)_pC(=X)$ -, forms a ring of the formula:

10

15

20

25



wherein p is zero or one. T is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, $-(R^{21}Z)_qR_{21}$ - and $-ZR^{21}$ -where Z is a substituent selected from the group consisting of -O-, -S- and $> NR^{20}$, each R^{20} is independently selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, aryl, heteroaryl and heterocyclic, each R^{21} is independently alkylene, substituted alkylene, alkenylene and substituted alkenylene with the proviso that when Z is -O- or -S-, any unsaturation in the alkenylene and substituted alkenylene does not involve participation of the -O- or -S-, and q is an integer of from 1 to 3.

88. The compound according to Chaim 74 wherein the cyclic group defined by W, together with $-C(H)_pC(=X)$ - forms a ring of the formula:



The state of the s

ļų,

1

num of the

c).

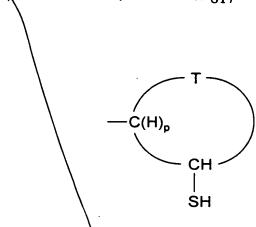
المعلمية

5

10

15

20



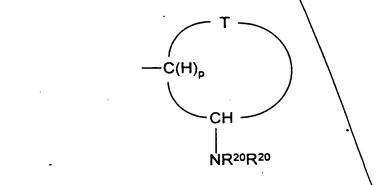
wherein p is zero or one, T is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, $-(R^{21}Z)_qR_{21}$ - and $-ZR^{21}$ -where Z is a substituent selected from the group consisting of -O-, -S- and $> NR^{20}$, each R^{20} is independently selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, aryl, literoaryl and heterocyclic, each R^{21} is independently alkylene, substituted alkylene, alkenylene and substituted alkenylene with the proviso that when Z is -O- or -S-, any unsaturation in the alkenylene and substituted alkenylene does not involve participation of the -O- or -S-, and q is an integer of from 1 to 3.

25

30

35

89. The compound according to Claim 74 wherein the cyclic group defined by W, together with $-C(H)_pC(=X)$ -, forms a ring of the formula:



10

15

20

25

30

wherein p is zero or one, T is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, $-(R^{21}Z)_qR_{21}$ - and $-ZR^{21}$ -where Z is a substituent selected from the group consisting of -O-, -S- and $> NR^{20}$, each R^{20} is independently selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, aryl, heteroaryl and heterocyclic, each R^{21} is independently alkylene, substituted alkylene, alkenylene and substituted alkenylene with the proviso that when Z is -O- or -S-, any unsaturation in the alkenylene and substituted alkenylene does not involve participation of the -O- or -S-, and q is an integer of from 1 to 3.

90. A compound selected from the group consisting of:

1-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)-aminodibenzosuberane

1-(R)-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)-amino-2-(S)-indanol

1-(S)-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)-amino-2-(R)-indanol

1-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)-amino-2-indanol

2-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)-amino-1-cyclohexanol

1-(R,S)-(N'-(3,5-difluorophen) lacetyl)-L-alaninyl)-amino-1,2,3,4-tetrahydro-2-naphthol

1-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)-aminobenz[f]cycloheptan-2-ol

5-[N'-(3,5-difluorophenylacetyl)-L-alaninyl]amino-5,7-dihydro-6H-dibenzo[a,c]cyclohepten-6-ol

1-(S)-(N'-(3,5-difluorophenylacetyl)-L\alaninyl)-aminoindan-2-one

2-(N'-(phenylacetyl)-L-alaninyl)aminocyclohexan-1-one

5-[N'-(3,5-difluorophenylacetyl)-L-alaninyl]amino-5,7-dihydro-6H-dibenzo[a,c]cyclohepten-6-one

3-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)- $\frac{1}{2}$ mino- γ -butyrolactone

3-(N'-(3,4-dichlorophenyl)-L-alaninyl)amino- γ -butyrolactone

35

	4(N'-(cyclopentylacetyl)-L-alaninyl)amino-1,1-dimethyl-3-isochromanone
_	4-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-1,1-dimethyl-3-isochromanone
5	3-(N'-(3\5-difluorophenylacetyl)-L-alaninyl)amino-γ-butyrolactam
	3-(N'-(3,5 difluorophenylacetyl)-L-alaninyl)amino-δ-valerolactam
10	1-benzyl-3-(S)-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)-amino-δ-valerolactam
	3-N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-4-methyl-ε-caprolactam
15	3-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-1,2,3,4- tetrahydroquinolin-2-one
20	1-benzyl-3-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-1,2,3,4-tetrahydroquinolin-2-one
20	4-(N'-(3,5-difluorophenylaeetyl)-L-alaninyl)amino-1,2,3,4- tetrahydroisoquinolin-3-ohe
25	4-(N'-(3,5-difluorophenylaetyl)-L-alaninyl)amino-2-benzyl-1,2,3,4-tetrahydroisoquinolin-3-one
	4-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-1-methyl-1,2,3,4-tetrahydroisoquinolin-3-one
30	4-(N'-(3,5-difluorophenylacetyl) L-alaninyl)amino-1-phenyl-1,2,3,4-tetrahydroisoquinolin-3-one
	4-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-6-fluoro-1,2,3,4-tetrahydroisoquinolin-3-one
35	4-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-7-fluoro-1,2,3,4-tetrahydroisoquinolin-3-one
40	4-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-2-phenethyl-1,2,3,4-tetrahydroisoquinolin-3-one
	4-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-2-methyl-1,2,3,4- tetrahydroisoquinolin-3-one
45	4-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-6-phenyl-1,2,3,4-tetrahydroisoquinolin-3-one

	4-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-7-phenyl-1,2,3,4-tetrahydroisoquinolin-3-one
5	$(N'-(3,5-difluorophenylacetyl)-L-alaninyl)-(9-aminofluroren-1-yl)glycine \delta-lactary$
	3-(N'-(phenylacetyl)-L-alaninyl)amino-ε-caprolactam
10	$3-(S)-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)-amino-\epsilon-caprolactam$
	3-(S)-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-1-benzyl-ε-caprolactam
15	3-(S)-N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-1-(2-methoxyethyl)- ϵ -caprolactam
	$3-(S)-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-1-ethyl-\epsilon-caprolactam$
20	3-N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-5-ethyl-ε-caprolactam
	3-N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-5-ethyl-ε-caprolactam
25	3-N'-(3,5-difluorophenylacetyl)-L-alaninyl-amino)-7-benzyl-ε-caprolactam
25	3-(S)-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-1-benzyl-4,7-methano- ϵ -caprolactam
20	3-(S)-(N'-(cyclopentylacetyl)-L-a aninyl)amino-1-benzyl-ε-caprolactam
30	3-(S)-(N'-(cyclopentylacetyl)-L-phenylglycinyl)amino-1-benzyl- ϵ -caprolactam
35	3-(S)-(N'-(3,5-difluorophenylacetyl)-L alaninyl)amino-1-(2-phenethyl)- ϵ -caprolactam
	3-(S)-(N'-(cyclopentylacetyl)-L-phenylglycinyl)amino-1-(2-phenethyl)-ε-caprolactam
40	3-(N'-(3,4-dichlorophenyl)-D,L-alaninyl)amino-ε-caprolactam
	3-(S)-(N'-(cyclopropylacetyl)-L-phenylglycinyl)amino-1-methyl-ε-caprolactam
45	3-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-8-octanelactam

	4-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-7-benzyl-1,2,3,4-tetrahydroisoquinolin-3-one
5	4-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-1-benzyl-1,2,3,4-tetrahydroisoquinolin-3-one
	4-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-2-methyl-1-phenyl-1,2,3,4-tetrahydroisoquinolin-3-one
10	4-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-1-(pyrid-2-yl)-1,2,3,4-tetrahydroisoquinolin-3-one
	4-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-1-(pyrid-3-yl)-1,2,3,4-tetrahydroisoquinolin-3-one
15	4-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-1-(pyrid-4-yl)-1,2,3,4-tetrahydroisoquinolik-3-one
20	3-[N'-(3,5-difluorophenylacetyl)-L-alaninyl]-amino-1-methyl-2-indolinone
20	3-[N'-(3,5-difluorophenylacetyl)-L-alaninyl]amino-1-methyl-4-phenyl-3,4-trans-dihydrocarbostyril
25	3-[N'-(3,5-difluorophenylacetyl)-L-alaninyl]amino-1-methyl-4-phenyl-3,4-cis-dihydrocarbostyril
	3-[N'-(3,5-difluorophenylacetyl)-L-alaninyl]amino-4-phenyl-3,4-trans-dihydrocarbostyril
30	1-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-3-methyl-1,3,4,5-tetrahydro-2H-3-benzazepin-2-one
35	1-(S)-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-3-ethyl-4'-fluoro- 1,3,4,5-tetrahydro-2H-3-benzazepin-2-one
	3-(3,5-difluorophenylacetyl)amino-1-ethyl-5,5-dimethyl-1,3,4,5-tetrahydro- 2H-1-benzazepin-2-one
40	3-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-1,3,4,5-tetrahydro-2H-1 benzazepin-2-one
	3-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-1-benzyl-1,3,4,5- tetrahydro-2H-3-benzazepin-2-one
45	3-(N'-(cyclopentylacetyl)amino-1-ethyl-5,5-dimethyl-1,3,4,5-tetrahydro-2H 1-benzazepin-2-one

	3-(S)-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-1-methyl-1,3,4,5-tetrahydro-2H-1-benzazepin-2-one
5	3-(N\-(3,5-difluorophenylacetyl)-L-alaninyl)amino-1,5-dimethyl-1,3,4,5-tetrahydro-2H-1-benzazepin-2-one
	3-(3,5-difluorophenylacetyl)amino-1,5-dimethyl-1,3,4,5-tetrahydro-2H-1-benzazepin-2-one
10	3-(S)-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-1-methyl-5-oxa-1,3,4,5-tetrahydro-2H-1-benzazepin-2-one
15	3-(S)-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-1-ethyl-5-oxa-1,3,4,5-tetrahydro-2H-1-benzazepin-2-one
15	3-(S)-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-1-methyl-5-thia-1,3,4,5-tetrahydro-2H-1-benzazepin-2-one
20	5-{N'-(3,5-difluorophenylacetyl)-L-alaninyl}-amino-3,3-dimethyl-5,7-dihydro-6H-benz[b]azepin-6-one
	5-{N'-(3,5-difluorophenylacetyl)-L-alaninyl}amino-3,3,7-trimethyl-5,7-dihydro-6H-benz[b]azepin-6-one
25	5-{N'-[(S)-3,5-difluoromandelyl]-L-alaninyl}amino-3,3,7-trimethyl-5,7-dihydro-6H-benz[b]azepin-6-one
20	1-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-5-phenyl-1,3,4,5-tetrahydro-2H-3-benzazepin-2-one
30	3-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-1-ethyl-5,5-dimethyl-1,3,4,5-tetrahydro-2H-1-benzazepin-2-one
35	5-(S)-[N'-(3,5-difluorophenylacetyl)-L-alaninyl]amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
	5-(S)-[N'-((f) and (R)-3,5-difluorophenyl- α -hydroxyacetyl)-L-alaninyl]amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
40	5-(S)-[N'-(3,5-difluorophenyl- α -ketoacetyl)-L-alaninyl]amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
45	5-(S)-[N'-(3,5-difluorophenylacetyl)-L-valinyl]amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
45	5-(S)-[N'-(3,5-difluorophenylacetyl)-L-tert-leucinyl]amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one

,	5-(S)-[N'-((S)-3,5-difluorophenyl-α-hydroxyacetyl)-L-valinyl]amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
5	5-(S)-[N'-((S)-3,5-difluorophenyl-α-hydroxyacetyl)-L- <i>tert</i> -leucinyl]amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
	5-[N'-(3,5-difluorophenylacetyl)-L-alaninyl]amino-7-(methoxyacetyl)-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
10	5-[N'-(3,5-difluorophenylacetyl)-L-alaninyl]amino-7-(methylcarboxylate)-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
15	5-[N'-(3,5-difluorophenylacetyl)-L-alaninyl]amino-7-(3,3-dimethyl-2-butanoyl)-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
13	5-[N'-(3,5-difluorophenylacetyl)-L-alaninyl]amino-7-(morpholinylacetyl)-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
20	5-(S)-(N'-((S)-(+)-2-Hydroxy-3-methylbutyryl)-L-alaninyl)amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
	5-[N'-cyclopentyl-α-hydroxyacetyl)-L-valinyl]amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6,one
25	5-(S)-(N'-((S) and (R)-3,3-dimethyl-2-hydroxybutyryl)-L-alaninyl)amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
30	5-[N'-cyclopentyl-α-hydroxyacetyl) L-tert-leucinyl]amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
	5-[N'-cyclopentyl-α-hydroxyacetyl)-L-alaninyl]amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
35	5-[N'-(3,5-difluorophenylacetyl)-L-alaninyl]amino-5,7-dihydro-6H,7H-dibenz[b,d]azepin-6-one
·	5-[N'-(3,5-difluorophenylacetyl)-L-alaninyl]amino-7-(2-methylpropyl)-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
40	5-[N'-(2-hydroxy-3-methylbutyryl)-L-valinyl]amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
45	5-(S)-[N'-((S and R)-2-hydroxy-3,3-dimethylbutyryl) L-valinyl]amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
73	5-{N'-(4-phenyl-furazan-3-yl)alaninyl}-amino-7-methyl-\$,7-dihydro-6H-dibenz[b,d]azepin-6-one

	`
	5-{N'-(3,5-difluorophenylacetyl)-L-alaninyl}amino-7-methyl-1,2,3,4,5,7-hexahydro-6H-dicyclohexyl[b,d]azepin-6-one
5	5-{N'-(3,5-difluorophenylacetyl)-L-alaninyl}amino-7-phenbutyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
	5-{N'-(3\5-difluorophenylacetyl)-L-alaninyl}amino-7-cyclopropymethyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
10	5-{N'-(3,5-difluorophenylacetyl)-L-alaninyl}amino-7-(2',2',2'-trifluoroethyl) 5,7-dihydro-H-dibenz[b,d]azepin-6-one
1.5	5-{N'-(3,5-difluorophenylacetyl)-L-alaninyl}amino-7-cyclohexyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
15	5-{N'-[(S)-3,5-difluoromandelyl]-L-alaninyl}amino-9-fluoro-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
20	5-{N'-[(S)-3,5-difluoromandelyl]-L-alaninyl}-amino-13-fluoro-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
	5-{N'-[(S)-3,5-difluoromandely/]}L-alaninyl}amino-10-fluoro-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin 6-one
25	5-{N'-[(S)-3,5-difluoromandelyl] L-alaninyl}amino-7-cyclopropylmethyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
20	5-{N'-[(S)-3,5-difluoromandelyl]-L-alaninyl}amino-7-phenbutyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
30	5-{N'-[(S)-3,5-difluoromandelyl]-L-valinyl}amino-7-cyclopropylmethyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
35	5-{N'-[(S)-3,5-difluoromandelyl]-L-valinyl}amino-7-phenbutyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
	5-{N'-[(S)-3,5-difluoromandelyl]-L-valinyl}amino-7-hexyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
40	5-{N'-[(S)-3,5-difluoromandelyl]-L-valinyl}amino-10-fluoro-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
45	5-{N'-[(S)-3,5-difluoromandelyl]-L-valinyl}amino-\\3-fluoro-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
45	5-{N'-[(S)-3,5-difluoromandelyl]-L-valinyl}amino-9-fluoro-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one

	3\(N'-(3,4-methylenedioxyphenylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
5	3-(N'-(2-methoxyphenoxyacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
	3-(N'-(4-isopropylphenoxyacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
10	3-(N'-(ethoxyacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
15	3-(N'-(4-phenoxyphenylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
15	3-(N'-(4-ethoxyphenylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
20	3-(N'-(2,5-dimethoxyphenylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
	3-(N'-(3,5-difluorobenzoyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
25	3-(N'-(o-tolylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
30	3-(N'-(3,3-diphenylpropionyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
30	3-(N'-(3-phenoxypropionyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
35	3-(N'-(indole-3-acetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
	3-(N'-(4-(trifluoromethyl)phenylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
40	3-(N'-((4-methylphenoxy)acetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
	3-(N'-(4-(hydroxymethyl)phenoxyacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
45	3-(N'-(2-phenoxyphenylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one

	3 _r (N'-(3-phenoxyphenylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
5	3-(N'-(3,4-dichlorophenoxyacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
	3-(N'-(4-fluorophenoxyacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1,4-benzodiazepin-2-one
10	3-(N'-(methylthio)acetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
	3-(N'-(methoxyacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H 1,4-benzodiazepin-2-one
15	(S)-3-(N'-(phenoxyacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl 1H-1,4-benzodiazepin-2-one
20	(S)-3-(N'-(phenylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
	(S)-3-(N'-(2-phenoxybutyryl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiakepin-2-one
25	(S)-3-(N'-(3-methoxyphenoxyacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
30	(S)-3-(N'-(4-(trifluoromethyl)phenylacetyl)glycinyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
	(S)-3-(N'-(4-butoxyphenylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
35	(S)-3-(N'-(3-(2-methoxyphenyl)propionyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
	(S)-3-(N'-(4-fluorophenylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
40	(S)-3-(N'-(isopropoxylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
	(S)-3-(N'-(1-phenyl-1H-tetrazole-5-acetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
45	(S)-3-(N'-(3-(3,4-methylenedioxyphenyl)propionyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one

٠.,

	(\$)-3-(N'-(3-cyclopentylpropionyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
5	(S)-3-(N'-(2-cyclopentene-1-acetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
	(S)-3-(N'-(2-chloro-6-fluorophenylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
10	(S)-3-(N'-(cyclohexylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
	(S)-3-(N'-(2,5-difluorophenylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
15	(S)-3-(N'-(pentafluorophenoxyacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
20	(S)-3-(N'-(3,5-dimethylphenoxyacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
	(S)-3-(N'-(4-chlorophenylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-27one
25	(S)-3-(N'-(3-chlorophenoxyacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
20	(S)-3-(N'-(benzo[b]thiophene-3-acetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
30	(S)-3-(N'-(benzoylformyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl 1H-1,4-benzodiazepin-2-one
35	(S)-3-(N'-(3,5-dimethoxyphenylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
	(S)-3-(N'-(2,5-dimethylphenylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
40	(S)-3-(N'-(2,6-difluorophenylacetyl)-L-alaninyl amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
	(S)-3-(N'-(2,4-difluorophenylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
45	(S)-3-(N'-(mesitylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-

	(S)-3-(N'-(4-methoxyphenylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
5	(S)-3-(N'-(2-chlorophenoxyacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
	(S)-3-(N'-(2-thiopheneacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
10	(S)-3-(N'-(3-(trifluoromethyl)phenylacetyl)-L-alaninyl)amino-2,3-dihydro-1 methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
15	(S)-3-(N'-(4-tolylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
15	(S)-3-(N'-(2,6-difluoromandelyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
20	(S)-3-(N'-(-(4-methoxyphenyl)propionyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
	(S)-3-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
25	(S)-3-(N'-(m-tolylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
30	(S)-3-(N'-(3-fluorophenylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
	(S)-3-(N'-(4-chlorophenoxyacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
35	(S)-3-(N'-(2-naphthylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
	(S)-3-(N'-(3-chlorophenylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
40	(S)-3-(N'-(3-methylphenoxyacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
45	(S)-3-(N'-(3,4-methylenedioxyphenylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
	(S)-3-(N'-(2-methoxyphenoxyacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one

	(S)-3-(N'-(4-fluoromandelyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
5	(S)-3-(N'-(4-thionaphthenacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
	(S)-3-(N'-(methoxyacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
10	(S)-3-(N'-(ethoxyacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
	(S)-3-(N'-(3-indolepropionyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
15	(S)-3-(N'-(3-(2-chlorophenyl)propionyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1,4-benzodiazepin-2-one
20	(S)-3-(N'-(butyryl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-ove
	(S)-3-(N'-(hexanoyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
25	(S)-3-(N'-(5-phenylpentanoyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
	(S)-3-(N'-(4-(2-thienyl)butyryl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
30	(S)-3-(N'-(4-nitrophenoxyacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
35	(S)-3-(N'-(3-(3-methoxyphenyl)propionyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
	(S)-3-(N'-(5-methylhexanoyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
40	(S)-3-(N'-(hydrocinnamyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl 1H-1,4-benzodiazepin-2-one
	(S)-3-(N'-(octanoyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
4 5	(S)-3-(N'-(3-(3-hydroxyphenyl)propionyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one

The state of the s

(\$)-3-(N'-(3-(4-hydroxyphenyl)propionyl)-L-alaninyl)amino-2,3-dihydro-1methyl-5-phenyl-1H-1,4-benzodiazepin-2-one (S)-3-(N'-(3,4,5-trifluorophenylacetyl)-L-alaninyl)amino-2,3-dihydro-1-5 methyl-5\phenyl-1H-1,4-benzodiazepin-2-one (S)-3-(N'-(5-hydantoinacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5phenyl-1H-1,4\benzodiazepin-2-one 10 (S)-3-(N'-(cyclopentylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5phenyl-1H-1,4-benzodiazepin-2-one (S)-3-(N'-(3-(trifluoromethyl)butyryl)-L-alaninyl)amino-2,3-dihydro-1methyl-5-phenyl-1H-1,4\benzodiazepin-2-one 15 (S)-3-(N'-(2-methyl-3-Benzofuranacetyl)-L-alaninyl)amino-2,3-dihydro-1methyl-5-phenyl-1H-1,4-benzodiazepin-2-one (S)-3-(N'-(propionyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-20 1,4-benzodiazepin-2-one (S)-3-(N'-(cyclopropylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5phenyl-1H-1,4-benzodiazepin-2-one 25 (S)-3-(N'-(3-methoxypropionyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5phenyl-1H-1,4-benzodiazepin-2-one (S)-3-(N'-(5-(thienyl)pentanoyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5phenyl-1H-1,4-benzodiazepin-2-one 30 (S)-3-(N'-(3-(4-fluorophenyl)propionyl)-L-alaninyl)amino-2,3-dihydro-1methyl-5-phenyl-1H-1,4-benzodiazepin-2-one (S)-3-(N'-(3-(4-fluorophenoxy)propionyl)-L-alahinyl)amino-2,3-dihydro-1-35 methyl-5-phenyl-1H-1,4-benzodiazepin-2-one (S)-3-(N'-(2-norbornaneacetyl)-L-alaninyl)amino-2\3-dihydro-1-methyl-5phenyl-1H-1,4-benzodiazepin-2-one 40 (S)-3-(N'-(2,3-difluoromandelyl)-L-alaninyl)amino-2,\(\beta\)-dihydro-1-methyl-5phenyl-1H-1,4-benzodiazepin-2-one (S)-3-(N'-(3-pentenoyl)-L-alaninyl)amino-2,3-dihydro-1\methyl-5-phenyl-1H-1,4-benzodiazepin-2-one 45 (S)-3-(N'-(4-(2,4-dichlorophenoxy)butyryl)-L-alaninyl)amiho-2,3-dihydro-1methyl-5-phenyl-1H-1,4-benzodiazepin-2-one

	(S)-3-(N'-(2,3-dichlorophenoxyacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
5	(S)-3-(N'-(3-(4-chlorobenzoyl)propionyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
	(S)-3-(N'-2-fluorophenylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
10	(S)-3-(N'-(2-(4-cyanophenoxy)-2-methyl propionyl)-L-alaninyl)amino-2,3-dihydro-1-methyl 5-phenyl-1H-1,4-benzodiazepin-2-one
15	(S)-3-(N'-(2-nitrophenylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
15	(S)-3-(N'-(4-(hydroxymethyl)phenoxyacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
20	(S)-3-(N'-(2-fluoro-3-(trifluoromethyl)phenylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1N-1,4-benzodiazepin-2-one
	(S)-3-(N'-(2,4,6-trifluoropheny/acetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzødiazepin-2-one
25	(S)-3-(N'-(4-fluoro-2-(trifluoromethyl)phenylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
30	(S)-3-(N'-(4,4,4-trifluorobutyryl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
30	(S)-3-(N'-(2-fluoro-4-(trifluoromethyl)phenylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
35	(S)-3-(N'-(4-bromophenylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
	(S)-3-(N'-(3-(4-fluorobenzoyl)propionyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
40	(S)-3-(N'-((2-methylphenoxy)acetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
·45	(S)-3-(N'-(4-methoxyphenoxyacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
43	(S)-3-(N'-(3-(phenylsulfonyl)propionyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one

(S)-3-(N'-(2-methoxyphenylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one $(S)-3_{\tau}(N'-(2-bromophenylacetyl)-L-alaninyl)$ amino-2,3-dihydro-1-methyl-5-5 phenyl-1H-1,4-benzodiazepin-2-one (S)-3-(N\-(p-isopropyl phenylacetyl)-L-alaninyl)amino-2,3-dihydro-1methyl-5-phenyl-1H-1,4-benzodiazepin-2-one 10 (S)-3-(N'-(4-pentenoyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one (S)-3-(N'-(4-hydroxyphenoxyacetyl)-L-alaninyl)amino-2,3-dihydro-1methyl-5-phenyl, 1H-1, 4-benzodiazepin-2-one 15 (S)-3-(N'-(4-oxopentanoyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5phenyl-1H-1,4-benzodiazepin-2-one (S)-3-(N'-(2-hydroxyphenylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-20 5-phenyl-1H-1,4-benzodiazepin-2-one (S)-3-(N'-(3,4-dimethoxyphenylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one (S)-3-(N'-(3-(4-methoxybenzòyl)propionyl)-L-alaninyl)amino-2,3-dihydro-1-25 methyl-5-phenyl-1H-1,4-benzodiazepin-2-one (S)-3-(N'-(thiophene-3-acetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5phenyl-1H-1,4-benzodiazepin-2-one 30 (S)-3-(N'-(6-phenylhexanoyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5phenyl-1H-1,4-benzodiazepin-2-one (S)-3-(N'-(isovaleryl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-35 1,4-benzodiazepin-2-one (S)-3-(N'-(2,3,5-trifluorophenylacetyl)-L-alaninyl)amino-2,3-dihydro-1methyl-5-phenyl-1H-1,4-benzodiazepin-2-one (S)-3-(N'-(2,4,5-trifluorophenylacetyl)-L-alaninyl)amino-2,3-dihydro-1-40 methyl-5-phenyl-1H-1,4-benzodiazepin-2-one (S)-3-(N'-(1-adamantaneacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5phenyl-1H-1,4-benzodiazepin-2-one 45 (S)-3-(N'-(cyclohexanepentanoyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5phenyl-1H-1,4-benzodiazepin-2-one

, i

6.0

1

1.6

15 m

h , ji

	(\$)-3-(N'-(benzo[b]thiophene-3-acetyl)-L-phenylglycinyl)amino-2,3-dihydro 1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
5	(S)-3-(N'-(benzoylformyl)-L-phenylglycinyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
	(S)-3-(N)-(2,6-difluorophenylacetyl)-L-phenylglycinyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
10	(S)-3-(N'-(2)4-difluorophenylacetyl)-L-phenylglycinyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
15	(S)-3-(N'-(3,4-difluorophenylacetyl)-L-phenylglycinyl)amino-2,3-dihydro-1 methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
	(S)-3-(N'-(butyryl)-L-phenylglycinyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
20	(S)-3-(N'-(heptanoyl)-L-phenylglycinyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
	(S)-3-(N'-(4-(2-thienyl)butyry))-L-phenylglycinyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
25	(S)-3-(N'-(5-methylhexanoyl)-L-phenylglycinyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
••	(S)-3-(N'-(hydrocinnamyl)-L-phenylglycinyl)amino-2,3-dihydro-1-methyl-5 phenyl-1H-1,4-benzodiazepin-2-one
30	(S)-3-(N'-(cyclopentylacetyl)-L-phenylglycinyl)amino-2,3-dihydro-1-methyl 5-phenyl-1H-1,4-benzodiazepin-2-one
35	(S)-3-(N'-(propionyl)-L-phenylglycinyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
	(S)-3-(N'-(3,4,5-trifluorophenylacetyl)-L-phenylglycinyl)amino-2,3-dihydro 1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
40	(S)-3-(N'-(4-phenylbutyryl)-L-phenylglycinyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
	3-(N'-(2-thiopheneacetyl)-L-alaninyl)amino-2,3-dihydro-5-phenyl-1-(4,4,4-trifluorobutyl)-1H-1,4-benzodiazepin-2-one
45	3-(N'-(2-thiopheneacetyl)-L-alaninyl)amino-1-(2-oxo-2-phenylethyl)-2,3-dihydro-5-phenyl-1H-1.4-benzodiazepin-2-one

des responses a pour tres and ment. And made contention the content of the conten

	3-\N'-(2-thiopheneacetyl)-L-alaninyl)amino-1-methyl-2,3-dihydro-5-(2-thiazolyl)-1H-1,4-benzodiazepin-2-one
5	3-(N'-(2-thiopheneacetyl)-L-alaninyl)amino-7-chloro-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
	3-(N'-(2-thiopheneacetyl)-L-alaninyl)amino-7-chloro-5-(2-chlorophenyl)-2,3-dihydro-1-methyl-1H-1,4-benzodiazepin-2-one
10	3-(N'-(2-thiopheneacetyl)-L-alaninyl)amino-5-(2-thienyl)-2,3-dihydro-1-methyl-1H-1,4-benzodiazepin-2-one
15	3-(N'-(2-thiopheneacetyl)-L-alaninyl)amino-5-cyclohexyl-2,3-dihydro-1-methyl-1H-1,4-benzodiazepin-2-one
13	3-(N'-(2-thiopheneacetyl)-L-alaninyl)amino-7-bromo-5-(2-fluorophenyl)-2,3-dihydro-1-methyl-1H-1,4 benzodiazepin-2-one
20	3-(N'-(2-thiopheneacetyl)-L-alaninyl)-amino-)-2,4-dioxo-1,5-bis-(2,2-dimethylpropyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
	3-(N'-(3,5-difluorophenylacetyl) I-alaninyl)amino-2,3-dihydro-5-phenyl-1-(4,4,4-trifluorobutyl)-1H-1,4-benzodiazepin-2-one
25	3-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-1-(2-oxo-2-phenylethyl)-2,3-dihydro-5-phenyl-1H-1,4-benzodiazepin-2-one
30	3-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-1-methyl-2,3-dihydro-5-(2-thiazolyl)-1H-1,4-benzodiazepin-2-one
30	3-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-7-chloro-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
35	3-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-7-chloro-5-(2-chlorophenyl)-2,3-dihydro-1-methyl-1H-1,4-benzodiazepin-2-one
	3-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-5-(2-thienyl)-2,3-dihydro-1-methyl-1H-1,4-benzodiazepin-2-one
40	3-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-5-cyclohexyl-2,3-dihydro-1-methyl-1H-1,4-benzodiazepin-2-one
	3-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-7-bromo-5-(2-fluorophenyl)-2,3-dihydro-1-methyl-1H-1,4-benzodiazepin-2-one
45	3-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)-amino-)-2,4-dioxo-1,5-bis-(2,2-dimethylpropyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
	1

	3-(N'-(3-fluorophenylacetyl)-L-alaninyl)amino-2,3-dihydro-5-phenyl-1-(4,4,4-trifluorobutyl)-1H-1,4-benzodiazepin-2-one
5	3-(N'-(3-fluorophenylacetyl)-L-alaninyl)amino-1-(2-oxo-2-phenylethyl)-2,3-dihydro-5-phenyl-1H-1,4-benzodiazepin-2-one
	3-(N'-(3-fluorophenylacetyl)-L-alaninyl)amino-1-methyl-2,3-dihydro-5-(2-thiazolyl)-1H-1,4-benzodiazepin-2-one
10	3-(N'-(3-fluorophenylacetyl)-L-alaninyl)amino-7-chloro-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
15	3-(N'-(3-fluorophenylacetyl)-L-alaninyl)amino-7-chloro-5-(2-chlorophenyl)-2,3-dihydro-1-methyl-1H-1,4-benzodiazepin-2-one
15	3-(N'-(3-fluorophenylacetyl)-L-alaninyl)amino-5-(2-thienyl)-2,3-dihydro-1-methyl-1H-1,4-benzodiazepin-2-one
20	3-(N'-(3-fluorophenylacetyl)-L-alaninyl)amino-5-cyclohexyl-2,3-dihydro-1-methyl-1H-1,4-benzodiazepin-2-one
	3-(N'-(3-fluorophenylacetyl)/1-alaninyl)amino-7-bromo-5-(2-fluorophenyl)-2,3-dihydro-1-methyl-1H-1,4-benzodiazepin-2-one
25	3-(N'-(3-fluorophenylacetyl)-L alaninyl)-amino-)-2,4-dioxo-1,5-bis-(2,2-dimethylpropyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
30	3-(N'-(methylthio)acetyl)-L-alaninyl)amino-2,3-dihydro-5-phenyl-1-(4,4,4-trifluorobutyl)-1H-1,4-benzodiazepin-2-one
30	3-(N'-(methylthio)acetyl)-L-alaninyl)amino-1-(2-oxo-2-phenylethyl)-2,3-dihydro-5-phenyl-1H-1,4-benzodiazepin-2-one
35	3-(N'-(methylthio)acetyl)-L-alaninyl)amino-1-methyl-2,3-dihydro-5-(2-thiazolyl)-1H-1,4-benzodiazepin-2-one
	3-(N'-(methylthio)acetyl)-L-alaninyl)amino-7-chloro-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
40	3-(N'-(methylthio)acetyl)-L-alaninyl)amino-7-chloro-5-(2-chlorophenyl)-2,3-dihydro-1-methyl-1H-1,4-benzodiazepin-2-one
45	3-(N'-(methylthio)acetyl)-L-alaninyl)amino-5-(2-thienyl)-2,3-dihydro-1-methyl-1H-1,4-benzodiazepin-2-one
45	3-(N'-(methylthio)acetyl)-L-alaninyl)amino-5-cyclohexyl-2,3-dihydro-1-methyl-1H-1,4-benzodiazepin-2-one

	3-(N'-(methylthio)acetyl)-L-alaninyl)amino-7-bromo-5-(2-fluorophenyl)-2,3-dihydro-1-methyl-1H-1,4-benzodiazepin-2-one
5	3-(N'-(methylthio)acetyl)-L-alaninyl)-amino-)-2,4-dioxo-1,5-bis-(2,2-dimethylpropyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
	3-(N'-(phenylacetyl)-L-alaninyl)amino-2,3-dihydro-5-phenyl-1-(4,4,4-trifluorobutyl)-1H-1,4-benzodiazepin-2-one
10	3-(N'-(phenylacetyl)-L-alaninyl)amino-1-(2-oxo-2-phenylethyl)-2,3-dihydro-5-phenyl-1H-1\4-benzodiazepin-2-one
15	3-(N'-(phenylacetyl)-L-alaninyl)amino-1-methyl-2,3-dihydro-5-(2-thiazolyl)-1H-1,4-benzodiazepin-2-one
10	3-(N'-(phenylacetyl)-L-alaninyl)amino-7-chloro-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
20	3-(N'-(phenylacetyl)-L-alaninyl)amino-7-chloro-5-(2-chlorophenyl)-2,3-dihydro-1-methyl-1H-1,4-benzodiazepin-2-one
	3-(N'-(phenylacetyl)-L-alapinyl)amino-5-(2-thienyl)-2,3-dihydro-1-methyl-1,4-benzodiazepin-2-one
25	3-(N'-(phenylacetyl)-L-alaninyl)amino-5-cyclohexyl-2,3-dihydro-1-methyl-1,4-benzodiazepin-2-one
30	3-(N'-(phenylacetyl)-L-alaninyl)amino-7-bromo-5-(2-fluorophenyl)-2,3-dihydro-1-methyl-1H-1,4-benzodiazepin-2-one
30	3-(N'-(phenylacetyl)-L-alaninyl)-amino-)2,4-dioxo-1,5-bis-(2,2-dimethylpropyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
35	3-(N'-(benzoylformyl)-L-alaninyl)amino-2,3-dihydro-5-phenyl-1-(4,4,4-trifluorobutyl)-1H-1,4-benzodiazepin-2-one
	3-(N'-(benzoylformyl)-L-alaninyl)amino-1-(2-oxo-2-phenylethyl)-2,3-dihydro-5-phenyl-1H-1,4-benzodiazepin-2-one
40	3-(N'-(benzoylformyl)-L-alaninyl)amino-1-methyl-2,3-dihydro-5-(2-thiazolyl)-1H-1,4-benzodiazepin-2-one
45	3-(N'-(benzoylformyl)-L-alaninyl)amino-7-chloro-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
70	3-(N'-(benzoylformyl)-L-alaninyl)amino-7-chloro-5-(2-chlorophenyl)-2,3-dihydro-1-methyl-1H-1,4-benzodiazepin-2-one

	3 (N'-(benzoylformyl)-L-alaninyl)amino-5-(2-thienyl)-2,3-dihydro-1-methyl 1H-1,4-benzodiazepin-2-one
5	3-(N\-(benzoylformyl)-L-alaninyl)amino-5-cyclohexyl-2,3-dihydro-1-methyl 1H-1,4-benzodiazepin-2-one
	3-(N'-(benzoylformyl)-L-alaninyl)amino-7-bromo-5-(2-fluorophenyl)-2,3-dihydro-1-methyl-1H-1,4-benzodiazepin-2-one
10	3-(N'-(benzoylformyl)-L-alaninyl)-amino-)-2,4-dioxo-1,5-bis-(2,2-dimethylpropyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
	3-(N'-(butyryl)-L-alaninyl)amino-2,3-dihydro-5-phenyl-1-(4,4,4-trifluorobutyl)-1H-1,4-benzodiazepin-2-one
15	3-(N'-(butyryl)-L-alaninyl)amino-1-(2-oxo-2-phenylethyl)-2,3-dihydro-5-phenyl-1H-1,4-benzodiazepin-2-one
20	3-(N'-(butyryl)-L-alaninyl)amino-1-methyl-2,3-dihydro-5-(2-thiazolyl)-1H-1,4-benzodiazepin-2-one
	3-(N'-(butyryl)-L-alaninyl)amino-7-chloro-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
25	3-(N'-(butyryl)-L-alaninyl)amino-7-chloro-5-(2-chlorophenyl)-2,3-dihydro-1-methyl-1H-1,4-benzodiazepin-2-one
20	3-(N'-(butyryl)-L-alaninyl)amino-5-(2-thienyl)-2,3-dihydro-1-methyl-1H-1,4-benzodiazepin-2-one
30	3-(N'-(butyryl)-L-alaninyl)amino-5-cyclohexyl-2,3-dihydro-1-methyl-1H-1,4-benzodiazepin-2-one
35	3-(N'-(butyryl)-L-alaninyl)amino-7-bromo-5-(2-fluorophenyl)-2,3-dihydro-1 methyl-1H-1,4-benzodiazepin-2-one
	3-(N'-(butyryl)-L-alaninyl)-amino-)-2,4-dioxo-15-bis-(2,2-dimethylpropyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
40	3-(N'-(4-(2-thienyl)butyryl)-L-alaninyl)amino-2,3-dihydro-5-phenyl-1-(4,4,4-trifluorobutyl)-1H-1,4-benzodiazepin-2-one
	3-(N'-(4-(2-thienyl)butyryl)-L-alaninyl)amino-1-(2-oxo-2-phenylethyl)-2,3-dihydro-5-phenyl-1H-1,4-benzodiazepin-2-one
45	3-(N'-(4-(2-thienyl)butyryl)-L-alaninyl)amino-1-methyl-2,3-dihydro-5-(2-thiazolyl)-1H-1,4-benzodiazepin-2-one

The first that the first that the second of the secon

	3-(N'-(4-(2-thienyl)butyryl)-L-alaninyl)amino-7-chloro-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
5	3-(N'-(4-(2-thienyl)butyryl)-L-alaninyl)amino-7-chloro-5-(2-chlorophenyl)-2,3-dihydro-1-methyl-1H-1,4-benzodiazepin-2-one
	3-(N'-(4-(2-thienyl)butyryl)-L-alaninyl)amino-5-(2-thienyl)-2,3-dihydro-1-methyl-1H-1,4-benzodiazepin-2-one
10	3-(N'-(4-(2-thienyl)butyryl)-L-alaninyl)amino-5-cyclohexyl-2,3-dihydro-1-methyl-1H-1,4-benzodiazepin-2-one
15	3-(N'-(4-(2-thienyl)butyryl)-L-alaninyl)amino-7-bromo-5-(2-fluorophenyl)-2,3-dihydro-1-methyl-1H-1,4-benzodiazepin-2-one
15	3-(N'-(4-(2-thienyl)butyryl)-L-alaninyl)-amino-)-2,4-dioxo-1,5-bis-(2,2-dimethylpropyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
20	3-(N'-(cyclopentylacetyl)-L-alaninyl)amino-2,3-dihydro-5-phenyl-1-(4,4,4-trifluorobutyl)-1H-1,4-benzodiazepin-2-one
	3-(N'-(cyclopentylacetyl)-L-alaninyl)amino-1-(2-oxo-2-phenylethyl)-2,3-dihydro-5-phenyl-1H-1,4-benzodiazepin-2-one
25	3-(N'-(cyclopentylacetyl)-L-alaninyl)amino-1-methyl-2,3-dihydro-5-(2-thiazolyl)-1H-1,4-benzodiazepin-2-one
20	3-(N'-(cyclopentylacetyl)-L-alaninyl)amino-7-chloro-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
30	3-(N'-(cyclopentylacetyl)-L-alaninyl)amino-7-chloro-5-(2-chlorophenyl)-2,3-dihydro-1-methyl-1H-1,4-benzodiazepin-2-one
35	3-(N'-(cyclopentylacetyl)-L-alaninyl)amino-5-(2-thienyl)-2,3-dihydro-1-methyl-1H-1,4-benzodiazepin-2-one
	3-(N'-(cyclopentylacetyl)-L-alaninyl)amino-5-cyclohexyl-2,3-dihydro-1-methyl-1H-1,4-benzodiazepin-2-one
40	3-(N'-(cyclopentylacetyl)-L-alaninyl)amino-7-bromo-5-(2-fluorophenyl)-2,3-dihydro-1-methyl-1H-1,4-benzodiazepin-2-one
45	3-(N'-(cyclopentylacetyl)-L-alaninyl)-amino-)-2,4-dioxo-1,5-bis-(2,2-dimethylpropyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
45	3-(N'-(3-(trifluoromethyl)butyryl)-L-alaninyl)amino-2,3-dihydro-5-phenyl-1-(4,4,4-trifluorobutyl)-1H-1,4-benzodiazepin-2-one

	3-(N'-(3-(trifluoromethyl)butyryl)-L-alaninyl)amino-1-(2-oxo-2-phenylethyl)-2,3-dihydro-5-phenyl-1H-1,4-benzodiazepin-2-one
5	3-(N'-(3-(trifluoromethyl)butyryl)-L-alaninyl)amino-1-methyl-2,3-dihydro-5-(2-thiazolyl)-1H-1,4-benzodiazepin-2-one
	3-(N'-(3-(trifluoromethyl)butyryl)-L-alaninyl)amino-7-chloro-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
10	3-(N'-(3-(trifluoromethyl)butyryl)-L-alaninyl)amino-7-chloro-5-(2-chlorophenyl)-2,3-dihydro-1-methyl-1H-1,4-benzodiazepin-2-one
1.5	3-(N'-(3-(trifluoromethyl)butyryl)-L-alaninyl)amino-5-(2-thienyl)-2,3-dihydro-1-methyl-1H-1,4-benzodiazepin-2-one
15	3-(N'-(3-(trifluoromethyl)butyryl)-L-alaninyl)amino-5-cyclohexyl-2,3-dihydro-1-methyl-1H-1,4-benzodiazepin-2-one
20	3-(N'-(3-(trifluoromethyl)butyryl)-L-alaninyl)amino-7-bromo-5-(2-fluorophenyl)-2,3-dihydro-1-methyl-1H-1,4-benzodiazepin-2-one
	3-(N'-(3-(trifluoromethyl)butyryl)-L-alaninyl)-amino-)2,4-dioxo-1,5-bis-(2,2-dimethylpropyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
25	3-(N'-(4,4,4-trifluorobutyryl) L-alaninyl)amino-2,3-dihydro-5-phenyl-1-(4,4,4-trifluorobutyl)-1H-1,4-benzodiazepin-2-one
20	3-(N'-(4,4,4-trifluorobutyryl)-L-alaninyl)amino-1-(2-oxo-2-phenylethyl)-2,3-dihydro-5-phenyl-1H-1,4-benzodiazepin-2-one
30	3-(N'-(4,4,4-trifluorobutyryl)-L-alaninyl)amino-1-methyl-2,3-dihydro-5-(2-thiazolyl)-1H-1,4-benzodiazepin-2-one
35	3-(N'-(4,4,4-trifluorobutyryl)-L-alaninyl)amino-7-chloro-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2,one
	3-(N'-(4,4,4-trifluorobutyryl)-L-alaninyl)amino-7-chloro-5-(2-chlorophenyl)-2,3-dihydro-1-methyl-1H-1,4-benzodiazepin-2-one
40	3-(N'-(4,4,4-trifluorobutyryl)-L-alaninyl)amino-5-(2-thienyl)-2,3-dihydro-1-methyl-1H-1,4-benzodiazepin-2-one
45	3-(N'-(4,4,4-trifluorobutyryl)-L-alaninyl)amino-5-cyclohexyl-2,3-dihydro-1-methyl-1H-1,4-benzodiazepin-2-one
45	3-(N'-(4,4,4-trifluorobutyryl)-L-alaninyl)amino-7-bromo-5-(2-fluorophenyl)-2,3-dihydro-1-methyl-1H-1,4-benzodiazepin-2-one

	3-(N'-(4,4,4-trifluorobutyryl)-L-alaninyl)-amino-)-2,4-dioxo-1,5-bis-(2,2-dimethylpropyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
5	3-(N' (isovaleryl)-L-alaninyl)amino-2,3-dihydro-5-phenyl-1-(4,4,4-trifluorobutyl)-1H-1,4-benzodiazepin-2-one
	3-(N'-(isovaleryl)-L-alaninyl)amino-1-(2-oxo-2-phenylethyl)-2,3-dihydro-5-phenyl-1H-1,4-benzodiazepin-2-one
10	3-(N'-(isovaleryl)-L-alaninyl)amino-1-methyl-2,3-dihydro-5-(2-thiazolyl)-1H-1,4-benzodiazepin-2-one
15	3-(N'-(isovaleryl)-L-alaninyl)amino-7-chloro-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
13	3-(N'-(isovaleryl)-L-alaninyl)amino-7-chloro-5-(2-chlorophenyl)-2,3-dihydro-1-methyl-1H-1,4-benzodiazepin-2-one
20	3-(N'-(isovaleryl)-L-alaninyl)amino-5-(2-thienyl)-2,3-dihydro-1-methyl-1H-1,4-benzodiazepin-2-one
	3-(N'-(isovaleryl)-L-alaninyl)amino-5-cyclohexyl-2,3-dihydro-1-methyl-1H-1,4-benzodiazepin-2-one
25	3-(N'-(isovaleryl)-L-alaninyl)amino-7-bromo-5-(2-fluorophenyl)-2,3-dihydro-1-methyl-1H-1,4-benzodiazepin-2-one
20	3-(N'-(isovaleryl)-L-alaninyl)-amino-)-2,4-dioxo-1,5-bis-(2,2-dimethylpropyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
30	3-(N'-(L-alpha-hydroxyisocaproyl)-L-alaninyl)amino-2,3-dihydro-5-phenyl-1-(4,4,4-trifluorobutyl)-1H-1,4-benzodiazepin-2-one
35	3-(N'-(L-alpha-hydroxyisocaproyl)-L-alaninyl)amino-1-(2-oxo-2-phenylethyl)-2,3-dihydro-5-phenyl-1H-1,4-benzodiazepin-2-one
	3-(N'-(L-alpha-hydroxyisocaproyl)-L-alaninyl)amino-1-methyl-2,3-dihydro-5-(2-thiazolyl)-1H-1,4-benzodiazepin-2-one
40	3-(N'-(L-alpha-hydroxyisocaproyl)-L-alaninyl)amino-7-chloro-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
	3-(N'-(L-alpha-hydroxyisocaproyl)-L-alaninyl)amino-7-chloro-5-(2-chlorophenyl)-2,3-dihydro-1-methyl-1H-1,4-benzodiazepin-2-one
45	3-(N'-(L-alpha-hydroxyisocaproyl)-L-alaninyl)amino-5-(2-thienyl)-2,3-dihydro-1-methyl-1H-1,4-benzodiazepin-2-one

	3-(N'-(L-alpha-hydroxyisocaproyl)-L-alaninyl)amino-5-cyclohexyl-2,3-dihydro-1-methyl-1H-1,4-benzodiazepin-2-one
5	3-(N'-(L-alpha-hydroxyisocaproyl)-L-alaninyl)amino-7-bromo-5-(2-fluorophenyl)-2,3-dihydro-1-methyl-1H-1,4-benzodiazepin-2-one
	3-(N'-(L-alpha-hydroxyisocaproyl)-L-alaninyl)-amino-)-2,4-dioxo-1,5-bis-(2,2-dimethylpropyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
10	3-(N'-(L-(+)-mandelyl)-L-alaninyl)amino-2,3-dihydro-5-phenyl-1-(4,4,4-trifluorobutyl)-(H-1,4-benzodiazepin-2-one
15	3-(N'-(L-(+)-mandelyl)-L-alaninyl)amino-1-(2-oxo-2-phenylethyl)-2,3-dihydro-5-phenyl-1H-1,4-benzodiazepin-2-one
13	3-(N'-(L-(+)-mandelyl)-L-alaninyl)amino-1-methyl-2,3-dihydro-5-(2-thiazolyl)-1H-1,4-benzodiazepin-2-one
20	3-(N'-(L-(+)-mandelyl)-1-alaninyl)amino-7-chloro-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
	3-(N'-(L-(+)-mandelyl)-L-alaniayl)amino-7-chloro-5-(2-chlorophenyl)-2,3-dihydro-1-methyl-1H-1,4-benzediazepin-2-one
25	3-(N'-(L-(+)-mandelyl)-L-alaninyl)amino-5-(2-thienyl)-2,3-dihydro-1-methyl-1H-1,4-benzodiazepin-2-one
30	3-(N'-(L-(+)-mandelyl)-L-alaninyl)amino-5-cyclohexyl-2,3-dihydro-1-methyl-1H-1,4-benzodiazepin-2-one
30	3-(N'-(L-(+)-mandelyl)-L-alaninyl)amino-7-bromo-5-(2-fluorophenyl)-2,3-dihydro-1-methyl-1H-1,4-benzodiazepin-2-one
35	3-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(3-fluorobenzyl)-1H-1,4-benzodiazepin-2-one
	3-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1- (benzyl)-1H-1,4-benzodiazepin-2-one
40	3-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-y-phenyl-2,3-dihydro-1- (4-tert-butylbenzyl)-1H-1,4-benzodiazepin-2-one
45	3-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(2-cyclohexylethyl)-1H-1,4-benzodiazepin-2-one
	3-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(3,3-dimethylbutyl)-1H-1,4-benzodiazepin-2-one

	3\(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(1\methoxycarbonyl-1-phenylmethyl)-1H-1,4-benzodiazepin-2-one
5	3-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(2-ethylbutyl)-1H-1,4-benzodiazepin-2-one
	3-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(cyclohexylmethyl)-1H-1,4-benzodiazepin-2-one
10	3-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(2-phenylethyl)-1H-1,4-benzodiazepin-2-one
15	3-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(3-phenylpropyl)-1H-1,4-benzodiazepin-2-one
	3-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(2-(N-phthalimidyl)ethyl)-1H-1,4-benzodiazepin-2-one
20	3-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(2-biphenylmethyl)-1H-1,4-benzodiazepin-2-one
	3-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-((2-tetrahydrofuranyl)methyl)-1+1,4-benzodiazepin-2-one
25	3-(N'-(3,5-difluorophenylacety))-1-alaninyl)amino-5-phenyl-2,3-dihydro-1-(2-(1,4-benzodioxanyl)methyl)-1H-1,4-benzodiazepin-2-one
30	3-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(3-(5-chlorobenzo[b] thienyl)methyl)-1H-1,4-benzodiazepin-2-one
30	3-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(3,3-dimethyl-2-oxo-propyl)-1H-1,4-benzodiazepin-2-one
35	3-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(5-benzofurazanylmethyl)-1H-1,4-benzodiazepin-2-one
	3-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(3-phenoxypropyl)-1H-1,4-benzodiazepin-2-one
40	3-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(6-(2-trifluoromethylquinolinyl)methyl)-1H-1,4-benzodiazepin-2-one
A.E.	3-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(2-methylbutyl)-1H-1,4-benzodiazepin-2-one
45	3-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(ethyl)-1H-1,4-benzodiazepin-2-one

	3-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(3-pyridylmethyl)-1H-1,4-benzodiazepin-2-one
5	3-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(2-oxo-2-(N-indolinyl)ethyl)-1H-1,4-benzodiazepin-2-one
	3-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(4-(3,5-dimethylisoxazolyl)methyl)-1H-1,4-benzodiazepin-2-one
10	3-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(2-methoxyethyl)-1H-1,4-benzodiazepin-2-one
15	3-(N'-(cyclopentylacetyl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(benzyl) 1H-1,4-benzodiazepin-2-one
13	3-(N'-(cyclopentylacetyl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(4-tert-butylbenzyl)-1H-1,4-benzodiazepin-2-one
20	3-(N'-(cyclopentylacetyl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(2-cyclohexylethyl)-1H-1,4-benzodiazepin-2-one
	3-(N'-(cyclopentylacetyl)-Lalaninyl)amino-5-phenyl-2,3-dihydro-1-(3,3-dimethylbutyl)-1H-1,4-benzodiazepin-2-one
25	3-(N'-(cyclopentylacetyl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(isopropyl)-1H-1,4-benzodiazepin-2-one
30	3-(N'-(cyclopentylacetyl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(1-methoxycarbonyl-1-phenylmethyl)-1H-1,4-benzodiazepin-2-one
30	3-(N'-(cyclopentylacetyl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(2-ethylbutyl)-1H-1,4-benzodiazepin-2-one
35	3-(N'-(cyclopentylacetyl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(cyclohexylmethyl)-1H-1,4-benzodiazepin-2-one
	3-(N'-(cyclopentylacetyl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(2-phenylethyl)-1H-1,4-benzodiazepin-2-one
40	3-(N'-(cyclopentylacetyl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(3-phenylpropyl)-1H-1,4-benzodiazepin-2-one
45	3-(N'-(cyclopentylacetyl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(2-(N-phthalimidyl)ethyl)-1H-1,4-benzodiazepin-2-one
	3-(N'-(cyclopentylacetyl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(2-biphenylmethyl)-1H-1,4-benzodiazepin-2-one

chlorobenzo[b] thienyl)methyl)-1H-1,4-benzodiazepin-2-one

3-(N'-(cyclopentylacetyl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(3-(5-

(isopropyl)-1H-1,4-benzodiazepin-2-one

	3-(N'-(4,4,4-trifluorobutyryl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(1-methoxycarbonyl-1-phenylmethyl)-1H-1,4-benzodiazepin-2-one
5	3-(N'-(4,4,4-trifluorobutyryl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(2-ethylbutyl)-1H-1,4-benzodiazepin-2-one
	3-(N'-(4,4,4-trifluorobutyryl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(cyclohexylmethyl)-1H-1,4-benzodiazepin-2-one
10	3-(N'-(4,4,4-trifluorobutyryl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(3-phenylpropyl)-1H-1,4-benzodiazepin-2-one
15	3-(N'-(4,4,4-trifluorobutyryl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(2-biphenylmethyl)-1H-1,4-benzodiazepin-2-one
15	3-(N'-(4,4,4-trifluorobutyryl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(3-(5-chlorobenzo[b] thienyl)methyl)-1H-1,4-benzodiazepin-2-one
20	3-(N'-(4,4,4-trifluorobutyryl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(3,3-dimethyl-2-oxo-butyl)-1H-1,4-benzodiazepin-2-one
	3-(N'-(4,4,4-trifluorobutyryl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(5-benzofurazanylmethyl)-1H-1,4-benzodiazepin-2-one
25	3-(N'-(4,4,4-trifluorobutyryl)-Lyalaninyl)amino-5-phenyl-2,3-dihydro-1-(3-phenoxypropyl)-1H-1,4-benzodiazepin-2-one
30	3-(N'-(4,4,4-trifluorobutyryl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(6-(2-trifluoromethylquinolinyl)methyl)-1H-1,4-benzodiazepin-2-one
	3-(N'-(4,4,4-trifluorobutyryl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(cyclopropylmethyl)-1H-1,4-benzodiazepin-2-one
35	3-(N'-(4,4,4-trifluorobutyryl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(2-methylbutyl)-1H-1,4-benzodiazepin-2-one
	3-(N'-(4,4,4-trifluorobutyryl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(ethyl)-1H-1,4-benzodiazepin-2-one
40	3-(N'-(4,4,4-trifluorobutyryl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(4-(3,5-dimethylisoxazolyl)methyl)-1H-1,4-benzodiazepin-2-one
45	3-(N'-(4,4,4-trifluorobutyryl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(propyl)-1H-1,4-benzodiazepin-2-one
	3-(N'-(4,4,4-trifluorobutyryl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(2-methoxyethyl)-1H-1,4-benzodiazepin-2-one

	3-(N'-(L-(+)-mandelyl)-L-alaninyl)-amino-)-2,4-dioxo-1,5-bis-(2,2-dimethylpropyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
5	(S)-3-(N'-(N-pyrrolidinylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
	3-(N'-(2-chlorophenoxyacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
10	3-(N'-(2-thiopheneacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl 1H-1,4-benzodiazepin-2-one
1.5	3-(N'-(3-(trifluoromethyl)phenylacetic)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl -1H-1,4-benzodiazepin-2-one
15	3-(N'-(4-tolylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl -1H 1,4-benzodiazepin-2-one
20	3-(N'-(3-(4-methoxyphenyl)propionyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
	3-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5 phenyl-1H-1,4-benzodiazepin-2-one
25	3-(N'-(m-tolylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H 1,4-benzodiazepin-2-one
30	3-(N'-(3-fluorophenylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
	3-(N'-(3-bromophenylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
35	3-(N'-(4-chlorophenoxyacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
	3-(N'-(2-naphthylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
40	3-(N'-(3-methylphenoxyacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
	3-[(N'-(4-methoxyphenylacetyl)-L-alaninyl)amino]-2,3-dihydro-1-methyl-5 (2-pyridyl)-1H-1,4-benzodiazepin-2-one
45	3-[(N'-(2-thiopheneacetyl)-L-alaninyl)amino]-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one

County of the state of the stat

	[(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino]-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
5	3-[(N'-(3-bromophenylacetyl)-L-alaninyl)amino]-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
	3-[(N'-(phenylmercaptoacetyl)-L-alaninyl)amino]-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
10	3-[(N'-(4-ethoxyphenylacetyl)-L-alaninyl)amino]-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
15	3-[(N'-(4-(trifluoromethyl)phenylacetyl)-L-alaninyl)amino]-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
15	3-[(N'-(3,5-bis(trifluoromethyl)phenylacetyl)-L-alaninyl)amino]-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
20	3-[(N'-((methylthio)acetyl)-L-alaninyl)amino]-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
	3-[(N'-(cyclohexylacetyl)-L-alanthyl)amino]-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin/2 one
25	3-[(N'-(pentafluorophenoxyacetyl) L-alaninyl)amino]-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin 2-one
20	3-[(N'-(benzo[b]thiophene-3-acetyl)-L-alaninyl)amino]-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
30	3-[(N'-(2,4,6-trimethylphenylacetyl)-L-alaninyl)amino]-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
35	3-[(N'-(4-biphenylacetyl)-L-alaninyl)amino]-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
	3-[(N'-(3,4-difluorophenylacetyl)-L-alaninyl)amino]-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
40	3-[(N'-(4-(2-thienyl)butyryl)-L-alaninyl)amino]-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
.45	3-[(N'-(5-methylhexanoyl)-L-alaninyl)amino]-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
45	3-[(N'-(3-methoxycarbonylpropionyl)-L-alaninyl)amino]-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
	· ·

	3-[(N'-(2,6-difluoromandelyl)-L-alaninyl)amino]-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
5	3-[(N'-(4-fluoromandelyl)-L-alaninyl)amino]-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
	3-[(N'-(2,5-difluoromandelyl)-L-alaninyl)amino]-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
10	3-[(N'-(2,4,6-trifluorophenylacetyl)-L-alaninyl)amino]-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
	3-[(N'-(4-fluoro-2-(trifluoromethyl)phenylacetyl)-L-alaninyl)amino]-2,3-dihydro-1-methyl-3-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
15	3-[(N'-(4,4,4-trifluorobutyryl)-L-alaninyl)amino]-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
20	3-[(N'-(4-isopropylphenylacetyl)-L-alaninyl)amino]-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
	3-[(N'-(beta-phenyllactyl)-L-alaninyl)amino]-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
25	3-[(N'-(mandelyl)-L-alaninyl)aminol-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
30	3-[(N'-(4-chloromandelyl)-L-alaninyl)amino]-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
30	3-[(N'-(isovaleryl)-L-alaninyl)amino]-2,3\dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
35	3-[(N'-(2,3,5-trifluorophenylacetyl)-L-alaninyl)amino]-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
	3-[(N'-(3-methylthiopropionyl)-L-alaninyl)amino]-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
40	3-[(N'-(L-alpha-hydroxyisocaproyl)-L-alaninyl)amino]-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
4.5	3-[(N'-(3-nitrophenylacetyl)-L-alaninyl)amino]-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
45	3-[(N'-(D-3-phenyllactyl)-L-alaninyl)amino]-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one

	3-[(N'-(4-methocyphenylacetyl)-L-alaninyl)amino]-2,3-dihydro-1-(3,3-dimethyl-2-oxobutyl)- 5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
5	3-[(N'-(2-thiopheneacetyl)-L-alaninyl)amino]-2,3-dihydro-1-(3,3-dimethyl-2-oxobutyl)- 5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
	3-[(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino]-2,3-dihydro-1-(3,3-dimethyl-2-oxobutyl)- 5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
10	3-[(N'-(3-bromophenylacetyl)-L-alaninyl)amino]-2,3-dihydro-1-(3,3-dimethyl-2-oxobutyl)- 5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
15	3-[(N'-(phenylmercaptoacetyl)-L-alaninyl)amino]-2,3-dihydro-1-(3,3-dimethyl-2-oxobutyl)- 5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
15	3-[(N'-(4-ethoxyphenylacetyl)-L-alaninyl)amino]-2,3-dihydro-1-(3,3-dimethyl-2-oxobutyl) 5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
20	3-[(N'-(4-(trifluoromethyl)phenylacetyl)-L-alaninyl)amino]-2,3-dihydro-1-(3,3-dimethyl-2-oxobutyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
	3-[(N'-(3,5-bis(trifluoromethyl)phenylacetyl)-L-alaninyl)amino]-2,3-dihydro-1-(3,3-dimethyl-2-oxobutyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
25	3-[(N'-((methylthio)acetyl)-L-alemnyl)amino]-2,3-dihydro-1-(3,3-dimethyl-2-oxobutyl)- 5-(2-pyridyl)-1H-1/4 benzodiazepin-2-one
30	3-[(N'-(cyclohexylacetyl)-L-alaninyl)amino]-2,3-dihydro-1-(3,3-dimethyl-2-oxobutyl)- 5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
30	3-[(N'-(pentafluorophenoxyacetyl)-L-alaninyl)amino]-2,3-dihydro-1-(3,3-dimethyl-2-oxobutyl)- 5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
35	3-[(N'-(benzo[b]thiophene-3-acetyl)-L-alaninyl)amino]-2,3-dihydro-1-(3,3-dimethyl-2-oxobutyl)- 5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
	3-[(N'-(2,4,6-trimethylphenylacetyl)-L-alaninyl)amino]-2,3-dihydro-1-(3,3-dimethyl-2-oxobutyl)- 5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
40	3-[(N'-(4-biphenylacetyl)-L-alaninyl)amino]-2,3-dihydro-1-(3,3-dimethyl-2-oxobutyl)- 5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
	3-[(N'-(3,4-difluorophenylacetyl)-L-alaninyl)amino]-2\3-dihydro-1-(3,3-dimethyl-2-oxobutyl)- 5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
45	3-[(N'-(4-(2-thienyl)butyl)-L-alaninyl)amino]-2,3-dihydro-1-(3,3-dimethyl-2-oxobutyl)- 5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one

	·
	3-[(N'-(3-nitrophenylacetyl)-L-alaninyl)amino]-2,3-dihydro-1-(3,3-dimethyl-2-oxobutyl)- 5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
5	3-[(N'-(D-3-phenyllactyl)-L-alaninyl)amino]-2,3-dihydro-1-(3,3-dimethyl-2-oxobutyl)- 5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
	3-[(N'-4-methoxyphenylacetyl)-L-alaninyl)amino]-2,3-dihydro-1-(2-N,N-diethyl aminoethyl)- 5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
10	3-[(N'-(2-thiopheneacetyl)-L-alaninyl)amino]-2,3-dihydro-1-(2-N,N-diethylaminoethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
15	3-[(N'-(N"-acetyl-N"-phenylglycinyl)L-alaninyl)amino]-2,3-dihydro-1-(2-N,N-diethyl aminoethyl)- 5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
15	3-[(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino]-2,3-dihydro-1-(2-N,N-diethyl aminoethyl)- 5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
20	3-[(N'-(3-bromophenylacetyl)-L-alaninyl)amino]-2,3-dihydro-1-(2-N,N-diethyl aminoethyl)- 5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
	3-[(N'-(phenylmercaptoacetyl)-L-alaninyl)amino]-2,3-dihydro-1-(2-N,N-diethyl aminoethyl)- 5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
25	3-[(N'-(4-(trifluoromethyl)phenylacetyl)-L-alaninyl)amino]-2,3-dihydro-1-(2-N,N-diethyl aminoethyl)- 5-(2-pyhdyl)-1H-1,4-benzodiazepin-2-one
30	3-[(N'-(3,5-bis(trifluoromethyl)phenylacetyl)-L-alaninyl)amino]-2,3-dihydro-1-(2-N,N-diethyl aminoethyl)- 5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
30	3-[(N'-(cyclohexylacetyl)-L-alaninyl)amino]-2,3-dihydro-1-(2-N,N-diethyl aminoethyl) 5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
35	3-[(N'-(pentafluorophenoxyacetyl)-L-alaninyl)amino]-2,3-dihydro-1-(2-N,N-diethyl aminoethyl)- 5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
	3-[(N'-(benzo[b]thiophene-3-acetyl)-L-alaninyl)amino]-2,3-dihydro-1-(2-N,N-diethyl aminoethyl)- 5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
40	3-[(N'-(benzoylformyl)-L-alaninyl)amino]-2,3-dihydro-1-(2-N,N-diethyl aminoethyl)- 5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
	3-[(N'-(3,4-difluorophenylacetyl)-L-alaninyl)amino]-2,3-dihydro-1-(2-N,N-diethyl aminoethyl)- 5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
73	3-[(N'-(4-(2-thienyl)butyryl)-L-alaninyl)amino]-2,3-dihydro-1-(2-N,N-diethyl aminoethyl)- 5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one

	3-[(N'-(5-methylhexanoyl)-L-alaninyl)amino]-2,3-dihydro-1-(2-N,N-diethyl aminoethyl)- 5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
5	3-[(N (4-fluoromandelyl)-L-alaninyl)amino]-2,3-dihydro-1-(2-N,N-diethyl aminoethyl)- 5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
	3-[(N'-(2,5-difluoromandelyl)-L-alaninyl)amino]-2,3-dihydro-1-(2-N,N-diethyl aminoethyl)- 5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
10	3-[(N'-(4,4,4-trifluorobutyryl)-L-alaninyl)amino]-2,3-dihydro-1-(2-N,N-diethyl aminoethyl)- 5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
15	3-[(N'-(4-isopropylphenylacetyl)-L-alaninyl)amino]-2,3-dihydro-1-(2-N,N-diethyl aminoethyl)- 5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
15	3-[(N'-(beta-phenyllacty))-L-alaninyl)amino]-2,3-dihydro-1-(2-N,N-diethyl aminoethyl)- 5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
20	3-[(N'-(mandelyl)-L-alaninyl)amino]-2,3-dihydro-1-(2-N,N-diethyl aminoethyl)- 5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
	3-[(N'-(4-chloromandelyl)-L-alaninyl)amino]-2,3-dihydro-1-(2-N,N-diethyl aminoethyl)- 5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
25	3-[(N'-(isovaleryl)-L-alaninyl)amino] 2,3-dihydro-1-(2-N,N-diethyl aminoethyl)- 5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
30	3-[(N'-(2,3,5-trifluorophenylacetyl)-L-alaninyl)amino]-2,3-dihydro-1-(2-N,N-diethyl aminoethyl)- 5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
	3-[(N'-(3-methylthiopropionyl)-L-alaninyl)amino]-2,3-dihydro-1-(2-N,N-diethyl aminoethyl)- 5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
35	3-[(N'-(L-alpha-hydroxyisocaproyl)-L-alaninyl)amino]-2,3-dihydro-1-(2-N,N-diethyl aminoethyl)- 5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
	3-[(N'-(3-nitrophenylacetyl)-L-alaninyl)amino]-2,3-dihydro-1-(2-N,N-diethyl aminoethyl)- 5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
40	3-[(N'-(D-3-phenyllactyl)-L-alaninyl)amino]-2,3-dihydro-1-(2-N,N-diethylaminoethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
45	3-[N-(3,5-difluorophenylacetyl)-L-alaninyl]-amino-2,4-dioxo-1,5-bis-(2-methylpropyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
43	3-[N-(3,5-difluorophenylacetyl)-L-alaninyl]-amino-2,4-dioxo 1,5-bis- (methyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine

	3-{N-(3,5-difluorophenylacetyl)-L-alaninyl}-amino-2,4-dioxo-1,5-bis-(cyclopropylmethyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
5	3-[N-(3,5-difluorophenylacetyl)-L-valinyl]-amino-2,4-dioxo-1,5-bis-(2-methylpropyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
	3-[N-(3,5-difluorophenylacetyl)-L-valinyl]-amino-2,4-dioxo-1,5-bis-(methyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
10	3-[N-(3,5-difluorophenylacetyl)-L-valinyl]-amino-2,4-dioxo-1,5-bis- (cyclopropylmethyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
15	3-[N-(3,5-difluorophenylacetyl)-L-norvalinyl]-amino-2,4-dioxo-1,5-bis-(2-methylpropyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
13	3-[N-(3,5-difluorophenylacetyl)-L-norvalinyl]-amino-2,4-dioxo-1,5-bis-(methyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
20	3-[N-(3,5-difluorophenylacetyl)-L-norvalinyl]-amino-2,4-dioxo-1,5-bis-(cyclopropylmethyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
	3-[N-(3,5-difluorophenylacetyl)-L-methioninyl]-amino-2,4-dioxo-1,5-bis-(2-methylpropyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
25	3-[N-(3,5-difluorophenylacetyl]-L-methioninyl]-amino-2,4-dioxo-1,5-bis-(methyl)-2,3,4,5-tetrahydro-1,1-1,5-benzodiazepine
30	3-[N-(3,5-difluorophenylacetyl)-L-methioninyl]-amino-2,4-dioxo-1,5-bis-(cyclopropylmethyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
	3-[N-(3,5-difluorophenylacetyl)-L-phenylalaninyl]-amino-2,4-dioxo-1,5-bis-(2-methylpropyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
35	3-[N-(3,5-difluorophenylacetyl)-L-phenylalaninyl]-amino-2,4-dioxo-1,5-bis-(methyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
	3-[N-(3,5-difluorophenylacetyl)-L-phenylalaninyl]-amino-2,4-dioxo-1,5-bis-(cyclopropylmethyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
40	3-[N-(3,5-difluorophenylacetyl)-L-phenylglycinyl]-amino-2,4-dioxo-1,5-bis-(2-methylpropyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
45	3-[N-(3,5-difluorophenylacetyl)-L-phenylglycinyl] amino-2,4-dioxo-1,5-bis-(methyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
13	3-[N-(3,5-difluorophenylacetyl)-L-phenylglycinyl]-amino-2,4-dioxo-1,5-bis-(cyclopropylmethyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine

	3-[N-(3,5-difluorophenylacetyl)-(2-thienyl)glycine]-amino-2,4-dioxo-1,5-bis-(2-methylpropyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
5	3-[N-(3,5-difluorophenylacetyl)-(2-thienyl)glycine]-amino-2,4-dioxo-1,5-bis-(methyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
	3-[N-(3,5-difluorophenylacetyl)-(2-thienyl)glycine]-amino-2,4-dioxo-1,5-bis-(cyclopropylmethyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
10	3-[N-(3,5-difluorophenylacetyl)-(3-thienyl)glycine]-amino-2,4-dioxo-1,5-bis-(2-methylpropyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
15	3-[N-(3,5-difluorophenylacetyl)-(3-thienyl)glycine]-amino-2,4-dioxo-1,5-bis-(methyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
	3-[N-(3,5-difluorophenylacetyl)-(3-thienyl)glycine]-amino-2,4-dioxo-1,5-bis-(cyclopropylmethyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
20	3-[N-(3,5-difluorophenylacetyl)-L-threoninyl]-amino-2,4-dioxo-1,5-bis-(2-methylpropyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
	3-[N-(3,5-difluorophenylacetyl)-L-threoninyl]-amino-2,4-dioxo-1,5-bis-(methyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
-25	3-[N-(3,5-difluorophenylacetyl)-L-threoninyl]-amino-2,4-dioxo-1,5-bis-(cyclopropylmethyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
30	3-[N-(3,5-difluorophenylacetyl)-L-tyrosinyl]-amino-2,4-dioxo-1,5-bis-(2-methylpropyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
30	3-[N-(3,5-difluorophenylacetyl)-L-tyrosinyl]-amino-2,4-dioxo-1,5-bis-(methyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
35	3-[N-(3,5-difluorophenylacetyl)-L-tyrosinyl]-amino-2,4-dioxo-1,5-bis-(cyclopropylmethyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
	3-[N-(cyclopentylacetyl)-L-alaninyl]-amino-2,4-dioxo-1,5-bis- (2-methylpropyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
40	3-[N-(cyclopentylacetyl)-L-alaninyl]-amino-2,4 dioxo-1,5-bis- (methyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
45	3-[N-(cyclopentylacetyl)-L-alaninyl]-amino-2,4-dioxo-1,5-bis- (cyclopropylmethyl)-2,3,4,5-tetrahydro-1H-1,5-benyodiazepine
T.J.	3-[N-(cyclopentylacetyl)-L-valinyl]-amino-2,4-dioxo-1,5-bis-(2-methylpropyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine

	3-[N-(cyclopentylacetyl)-L-valinyl]-amino-2,4-dioxo-1,5-bis- (methyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
5	3-[N-(cyclopentylacetyl)-L-valinyl]-amino-2,4-dioxo-1,5-bis- (cyclopropylmethyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
	3-[N-(cyclopentylacetyl)-L-norvalinyl]-amino-2,4-dioxo-1,5-bis-(2-methylpropyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
10	3-[N-(cyclopentylacetyl)-L-norvalinyl]-amino-2,4-dioxo-1,5-bis-(methyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
15	3-[N-(cyclopentylacetyl)-L-norvalinyl]-amino-2,4-dioxo-1,5-bis-(cyclopropylmethyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
13	3-[N-(cyclopentylacetyl)-L-methioninyl]-amino-2,4-dioxo-1,5-bis-(2-methylpropyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
20	3-[N-(cyclopentylacetyl)-L-methioninyl]-amino-2,4-dioxo-1,5-bis-(methyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
	3-[N-(cyclopentylacetyl)-L-methioninyl]-amino-2,4-dioxo-1,5-bis-(cyclopropylmethyl)-2,3/4,5-tetrahydro-1H-1,5-benzodiazepine
25	3-[N-(cyclopentylacetyl)-L-phenylalaninyl]-amino-2,4-dioxo-1,5-bis-(2-methylpropyl)-2,3,4,3-tetrahydro-1H-1,5-benzodiazepine
30	3-[N-(cyclopentylacetyl)-L-phenylalaninyl]-amino-2,4-dioxo- 1,5-bis-(methyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
50	3-[N-(cyclopentylacetyl)-L-phenylalaninyl]-amino-2,4-dioxo-1,5-bis-(cyclopropylmethyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
35	3-[N-(cyclopentylacetyl)-L-phenylglycinyl]-amino-2,4-dioxo-1,5-bis-(2-methylpropyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
	3-[N-(cyclopentylacetyl)-L-phenylglycinyl]-amino-2,4-dioxo-1,5-bis-(methyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
40	3-[N-(cyclopentylacetyl)-L-phenylglycinyl]-amino-2,4-dioxo-1,5-bis-(cyclopropylmethyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
A E	3-[N-(cyclopentylacetyl)-(2-thienyl)glycine]-amino-2,4-dioxo-1,5-bis-(2-methylpropyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
45	3-[N-(cyclopentylacetyl)-(2-thienyl)glycine]-amino-2,4-dioxo-1,5-bis-(methyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine

The first case of the point many part and the first case of the fi

The first of the control of the cont

%-[N-(4,4,4-trifluorobutryl)-L-valinyl]-amino-2,4-dioxo-1,5-bis-

And the state of t

	3\[N'-(3-methyl-2-hydroxylbutyryl)-L-alaninyl]amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
5	3-[N (3,3-dimethylbutyryl)-L-alaninyl]amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
	3-[N'-(thien-2-yl-acetyl)-L-alaninyl]amino-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H ₂ 1,4-benzodiazepin-2-one
10	3-[N'-(3,5-diffuorophenylacetyl)-L-alaninyl]amino-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
15	3-[N'-(3-bromophenylacetyl)-L-alaninyl]amino-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
15	3-[N'-(2-phenylthioacetyl)-L-alaninyl]amino-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
20	3-[N'-(4-ethoxyphenylacetyl)-L-alaninyl]amino-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
	3-[N'-(4-trifluoromethylphenylacetyl)-L-alaninyl]amino-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
25	3-[N'-(3,5-di(trifluoromethyl)phenylacetyl)-L-alaninyl]amino-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
30	3-[N'-(2-methylthioacetyl)-L-alaninyl]amino-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
	3-[N'-(2-cyclohexylacetyl)-L-alaninyl]amino-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
35	3-[N'-(2,3,4,5,6-pentafluorophenyloxyacetyl)-L-alaninyl]amino-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
	3-[N'-(thionaphth-3-ylacetyl)-L-alaninyl]amino-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
40	3-[N'-(2,4,6-trimethylphenylacetyl)-L-alaninyl]amino-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
	3-[N'-((4-phenyl)phenylacetyl)-L-alaninyl]amino-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
45	3-[N'-(3,4-difluorophenylacetyl)-L-alaninyl]amino-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one

pyridyl)-1H-1,4-benzodiazepin-2-one

3-[N'-(4-(thien-2-yl)butyryl)-L-alaninyl]amino-2,3-dihydro-1-methyl-5-(2-

pyridyl)-1H-1,4-benzodiazepin-2-one

U.S

	butylcarbonylmethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
5	3-[N (3,4-difluorophenylacetyl)-L-alaninyl]amino-2,3-dihydro-1-(tert-butylcarbonylmethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
	3-[N'-(4-(2-thienyl)butyryl)-L-alaninyl]amino-2,3-dihydro-1-(tert-butylcarbonylmethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
10	3-[N'-(5-methylhexanoyl)-L-alaninyl]amino-2,3-dihydro-1-(tert-butylcarbonylmethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
	3-[N'-(2-methoxycarbonylacetyl)-L-alaninyl]amino-2,3-dihydro-1-(<i>tert</i> -butylcarbonylmethyl)-3-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
15	3-[N'-(2,6-difluorophenyl- α -hydroxyacetyl)-L-alaninyl]amino-2,3-dihydro-1-(tert-butylcarbonylmethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
20	3-[N'-(4-fluorophenyl-α-hydroxyacetyl)-L-alaninyl]amino-2,3-dihydro-1-(tert-butylcarbonylmethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
	3-[N'-(2,5-difluorophenyl- α -hydroxyacetyl)-L-alaninyl]amino-2,3-dihydro-1-(tert-butylcarbonylmethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
25	3-[N'-(2,4,6-trifluorophenylacetyl)-L-alaninyl]amino-2,3-dihydro-1-(<i>tert</i> -butylcarbonylmethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
30	3-[N'-(2-trifluoromethyl-4-fluorophenylacetyl)-L-alaninyl]amino-2,3-dihydro-1-(<i>tert</i> -butylcarbonylmethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2 one
	3-[N'-(4,4,4-trifluorobutyryl)-L-alaninyl]amino-2,3-dihydro-1-(tert-butylcarbonylmethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
35	3-[N'-(4-iso-propylphenylacetyl)-L-alaninyl]amino-2,3-dihydro-1-(tert-butylcarbonylmethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
40	3-[N'-(3-phenyl-2-hydroxypropionyl)-L-alaninyllamino-2,3-dihydro-1-(tert-butylcarbonylmethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
	3-[N'-(phenyl- α -hydroxyacetyl)-L-alaninyl]amino-2,3-dihydro-1-(tert-butylcarbonylmethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
45	'3-[N'-(4-chlorophenylacetyl)-L-alaninyl]amino-2,3-dihydro-1-(tert-butylcarbonylmethyl)-5-(2-pyridyl)-1H-1,4-benzodiazebin-2-one

	3-[N'-(2,4,6-trimethylphenylacetyl)-L-alaninyl]amino-2,3-dihydro-1-(2-(N,N-diethylamino)ethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
5	3-[N'-((4-phenyl)phenylacetyl)-L-alaninyl]amino-2,3-dihydro-1-(2-(N,N-diethylamino)ethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
	3-[N'-((3,4-difluorophenyl)acetyl)-L-alaninyl]amino-2,3-dihydro-1-(2-(N,N-diethylamino)ethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
10	3-[N'-((4-(thien-2-yl)butyryl)-L-alaninyl]amino-2,3-dihydro-1-(2-(N,N-diethylamino)ethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
15	3-[N'-(5-methylhexanoyl)-L-alaninyl]amino-2,3-dihydro-1-(2-(N,N-diethylamino)ethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
15	3-[N'-(2-methoxycarbonylacetyl)-L-alaninyl]amino-2,3-dihydro-1-(2-(N,N-diethylamino)ethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
20	3-[N'-(2,6-difluorophenyl-α-hydroxyacetyl)-L-alaninyl]amino-2,3-dihydro-1-(2-(N,N-diethylamino)ethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
	3-[N'-(4-fluorophenyl- α -hydroxyacetyl)-L-alaninyl]amino-2,3-dihydro-1-(2-(N,N-diethylamino)ethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
25	3-[N'-(2,5-difluorophenyl-α-hydroxyacetyl)-L-alaninyl]amino-2,3-dihydro-1-(2-(N,N-diethylamino)ethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
30	3-[N'-(4-hydroxymethylphenyloxyacetyl)-L-alaninyl]amino-2,3-dihydro-1-(2-(N,N-diethylamino)ethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
	3-[N'-(2,4,6-trifluorophenylacetyl)-L-alaninyl]amino-2,3-dihydro-1-(2-(N,N-diethylamino)ethyl)-5-(2-pyridyl)-1H ₇ 1,4-benzodiazepin-2-one
35	3-[N'-(2-trifluoromethyl-4-fluorophenylacetyl)-L-alaninyl]amino-2,3-dihydro-1-(2-(N,N-diethylamino)ethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
40	3-[N'-(4,4,4-trifluorobutyryl)-L-alaninyl]amino-2,3-dihydro-1-(2-(N,N-diethylamino)ethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
	3-[N'-(4-iso-propylphenylacetyl)-L-alaninyl]amino-2,3-dihydro-1-(2-(N,N-diethylamino)ethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
45	3-[N'-(3-phenyl-2-hydroxypropionyl)-L-alaninyl]amino-2,3-dihydro-1-(2-(N,N-diethylamino)ethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one

diethylamino)ethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one

'\(\frac{1}{2}\)-[N'-(phenyl-\(\alpha\)-hydroxyacetyl)-L-alaninyl]amino-2,3-dihydro-1-(2-(N,N-

3-[N\-(4-chlorophenyl-α-hydroxyacetyl)-L-alaninyl]amino-2,3-dihydro-1-(2-

methylpropyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine

45

	3 [N'-(cyclopentylacetyl)-L-alaninyl]amino-2,4-dioxo-1,5-bis-(2-methylpropyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
5	3-[N'-(cyclopropylacetyl)-L-alaninyl]-amino-2,4-dioxo-1,5-bis-(2-methylpropyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
	3-[N'-(3,5-difluorophenylacetyl)-S-2-phenylglycinyl]-amino-2,4-dioxo-1,5 bis-(2-methylpropyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
10	3-[N'-(3,5-difluorophenylacetyl)-L-alaninyl]-amino-2,4-dioxo-1,5-bis-(cyclopropylmethyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
15	3-[N'-(cyclopentylacetyl)-L-alaninyl]-amino-2,4-dioxo-1,5-bis- (cyclopropylmethyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
	3-[N'-(cyclopentyl- α -hydroxyacetyl)-L-alaninyl]-amino-2,4-dioxo-1,5-bis-(cyclopropylmethyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
20	3-[N'-(3,5-difluorophenylacetyl)-L-alaninyl]-amino-2,4-dioxo-1,5-bis-(2,2 dimethylpropyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
	3-[N'-(3,5-difluorophenyl- α -hydroxyacetyl)-L-alaninyl]-amino-2,4-dioxo-1,5-bis-(2,2-dimethylpropyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
25	3-[N'-(cyclopentylacetyl)-L-alaninyl]amino-2,4-dioxo-1,5-bis-(2,2-dimethylpropyl)-2,3,4,5-tetrahydro-1H-1\5-benzodiazepine
30	$3-[N'-(cyclopentyl-\alpha-hydroxyacetyl)-L-alaninyl]amino-2,4-dioxo-1,5-bis-(2,2-dimethylpropyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine$
	3-[N'-(3,5-difluorophenylacetyl)-L-alaninyl]-amino-2,4-dioxo-1,5-bis-phenyl-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
35	3-[N'-(cyclopentylacetyl)-L-alaninyl]amino-2,4-dioxo-1,5-bis-phenyl-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
	3-[N'-(cyclopentyl-α-hydroxyacetyl)-L-alaninyl]-amino-2,4-dioxo-1,5-bis-phenyl-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
10	3-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-2,3 dihydro-1-methyl-5 phenyl-1 <i>H</i> -1,4-benzodiazepin-2-one
	5-{N'-(cyclopentylacetyl)-L-alaninyl}-amino-7-methyl-5,\dihydro-6H-dibenz[b,d]azepin-6-one
15	5-{N'-(3-cyclopentylpropionyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one

County and the property of the

	\$-{N'-(cyclohexylacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
5	5-{N' (t-butylacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
	5-{N'-(phenylacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
10	5-{N'-(3-bromophenylacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
15	5-{N'-(3-fluorophenylacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
	5-{N'-(3-chlorophenylacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
20	5-{N'-(3-(trifluoromethyl)phenylacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
	5-{N'-(4-fluorophenylacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
25	5-{N'-(hexanoyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
30	5-{N'-(heptanoyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
	5-{3,4-difluorophenylacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
35	5-{N'-(cyclopropylacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
	5-{N'-(2-cyclopentene-1-acetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
40	5-{N'-(3-cyclohexylpropionyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
45	5-{N'-(isovaleryl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
	5-{N'-(citronellyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one

	5,{N'-(3-benzoylpropionyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
5	5-{N'-(2-chlorophenylacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
	5-{N'-(4-pentenoyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
10	5-{N'-(valeryl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
15	5-{N'-(2-thiophenecetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
13	5-{N'-(4-(2-thienyl) butyryl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
20	5-{N'-(4-(4-nitrophenyl)butyryl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
	5-{N'-(2,4-difluorophenylacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one/
25	5-{N'-(2,6-difluorophenylacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
20	5-{N'-(4-isopropylphenylacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
30	5-{N'-(1-adamantaneacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
35	5-{N'-(cyclohexanepentanoyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
	5-{N'-((methylthio)acetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
40	5-{N'-(2-thiophenepentanoyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
45	5-{N'-(2-norbornaneacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
45	5-{N'-(3,5-difluorophenylacetyl)-4-ethylnorleucinyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one

-14

	5-{N'-(3-(4-hydroxyphenyl)propionyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
5	5-{N (3,4,5-trifluorophenylacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
	5-{N'-(4-(4-methoxyphenyl)butyryl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
10	5-{N'-(3-(methoxycarbonyl)propionyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
15	5-{N'-(4-phenylbutyryl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
	5-{N'-(3-(benzylthio)-propionyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
20	5-{N'-(3-methylpentanoyl) L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
	5-{N'-(7-carbomethoxyheptanoyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro 6H-dibenz[b,d]azepin-6-one
25	5-{N'-(2-indanylacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
20	5-{N'-(5-carbomethoxypentanoyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro 6H-dibenz[b,d]azepin-6-one
30	5-{N'-(2-methyl-3-Benzofuranacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
35	5-{N'-(propionyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
	5-{N'-(3-methoxypropionyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
40	5-{N'-(3-(4-fluorophenyl)propionyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
	5-{N'-(3-(4-fluorophenoxy)propionyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
4 5	5-{N'-(3-pentenoyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one

The first of the f

	5-{N'-(4-(2.4-dichlorophenoxy)butyryl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
5	5-{N'-(2,3-dichlorophenoxyacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro 6H-dibenz[b,d]azepin-6-one
	5-{N'-(3-(4-chlorobenzoyl)propionyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
10	5-{N'-(4'-fluorosuccinanilyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
15	5-{N'-(n-(dipheny methyl)glutaramyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
13	5-{N'-(2-fluorophenylacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
20	5-{N'-(cyanoacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
	5-{N'-(succinanilyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
25	5-{N'-(2,4-dichlorophenoxyaceyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
.30	5-{N'-(2-nitrophenylacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
.50	5-{N'-(beta-propylhydrocinnamyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
35	5-{N'-(3-(2,4-dimethylbenzoyl)propionyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
	5-{N'-(2-fluoro-3-(trifluoromethyl)phenylacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
40	5-{N'-(2,4,6-trifluorophenylacetyl)-L-alaninyl} amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
45	5-{N'-(4-fluoro-2-(trifluoromethyl)phenylacetyl)-l-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
45	5-{N'-(2-fluoro-4-(trifluoromethyl)phenylacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one

the state of the s

41

Han frait

t de la constant de l

100

	5\{N'-(2,3,5-trifluorophenylacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
5	5-{N'-(2,4,5-trifluorophenylacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
	5-{N'-(vinylacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
10	5-{N'-(3-methylthiopropionyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
15	5-{N'-(3-nitrophenylacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
	5-{N'-(n-tert-butylsuccinamyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
20	5-{N'-(4-bromophenylacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
	5-{N'-(3-(4-fluorobenzoyl)propionyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
25	5-{N'-(o-chlorophenoxyacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
30	5-{N'-(p-tolylaceyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
	5-{N'-(m-tolylacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
35	5-{N'-(3,4-dichlorophenylacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
	5-{N'-(4-chlorophenoxyacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
40	5-{N'-(3-methylphenoxyacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
	5-{N'-(4-isopropylphenoxyacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
45	5-{N'-(4-phenoxyphenylacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one

...

• •

	dibenz[b,d]azepin-6-one
5	5-{N (4-ethoxyphenylacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
	5-{N'-(2,5 dimethoxyphenylacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
10	5-{N'-(o-tolylacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
15	5-{N'-(3,3-diphenylpropionyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
15	5-{N'-(3-phenoxypropionxl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
20	5-{N'-(4-(trifluoromethyl)phenylacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
	5-{N'-((4-methylphenoxy)acetyl)-L'alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
25	5-{N'-(2-phenoxyphenylacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H dibenz[b,d]azepin-6-one
30	5-{N'-(3-phenoxyphenylacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H dibenz[b,d]azepin-6-one
30	5-{N'-(3,4-dichlorophenoxyacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
35	5-{N'-(4-fluorophenoxyacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
	5-{N'-(3,4,5-trimethoxyphenylacetyl)-L-alaninyl}-amino-X-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
40	5-{N'-(2,4-dichlorophenylacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
4.5	5-{N'-(4-thianaphthenacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
45	5-{N'-(methoxyacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one

	'5-{N'-(ethoxyacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
5	5-{N' (phenoxyacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
	5-{N'-(3-methoxyphenoxyacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
10	5-{N'-(4-butoxyphenylacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
15	5-{N'-(3-(2-methoxyphenyl)propionyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
	5-{N'-(N,N-dimethylsuccinamyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
20	5-{N'-(3-(3,4-methylenedioxyphenyl)propionyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
	5-{N'-(2-chloro-6-fluorophenylacekyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
25	5-{N'-(2,5-difluorophenylacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
20	5-{N'-(pentafluorophenoxyacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
30	5-{N'-(3,5-bis(trifluoromethyl)phenylacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
35	5-{N'-(3,5-dimethylphenoxyacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
	5-{N'-(4-chlorophenylacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
40	5-{N'-(3-chlorophenoxyacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H dibenz[b,d]azepin-6-one
	5-{N'-(benzo[b]thiophene-3-acetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
45	5-{N'-(3,5-dimethoxyphenylacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one

And the second s

 5_{7} N'-(2,5-dimethylphenylacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-

The first variety with most year and the first of the fir

·	5-{N'-(3-benzoylamino-3-phenyl-propionyl)-L-alaninyl}-amino-7-methyl-5,\forall-dihydro-6H-dibenz[b,d]azepin-6-one
5	5-{N'-(4-(hydroxyimino)pentanoyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
	5-{N'-(4'-methylglutaranilyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
10	5-{N'-((4-(4-ethyl-phenoxy)-phenoxy)-acetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
15	5-{N'-(3-Benzoyl-3-phenylpropionyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
13	5-{N'-(4-(hydroxymethyl)phenoxyacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
20	5-{N'-(4,4,4-trifluorobutyryl)-Lalaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
	5-{N'-(3-isobutyrylamino-3-phenyl-propionyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
25	5-{N'-((2-methylphenoxy)acetyl)-L-alanmyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
30	5-{N'-(3-(phenylsulfonyl)propionyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
30	5-{N'-(4-nitrophenylacetyl)-L-alaninyl}-amino-7methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
35	5-{N'-(3-ethoxypropionyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
	5-{N'-(2,3-difluoromandelyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
40	5-{N'-(2,6-difluoromandelyl)-L-alaninyl}-amino-7-methyl 5,7-dihydro-6H-dibenz[b,d]azepin-6-one
45	5-{N'-(4-fluoromandelyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
45	5-{N'-(2,5-difluoromandelyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one

	57{N'-(dl-beta-phenyllactyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
5	5-{N' (dl-mandelyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
	5-{N'-(p-chloromandelyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
10	5-{N'-(l-alpha-hydroxyisocaproyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
15	5-{N'-(4-bromomandelyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
	5-{N'-(l-(+)-lactyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
20	5-{N'-(d-3-phenylacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
	5-{N'-(5-methylhexanoyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
25	5-{N'-(3,5-difluorophenylacetyl)-L-methioninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
30	5-{N'-(3,5-difluorophenylacetyl)-L-2-phenylglycinyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
	5-{N'-(3,5-difluorophenylacetyl)-L-leucinyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
35	5-{N'-(3,5-difluorophenylacetyl)-L-2-cyclohexylglycinyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
	5-{N'-(3,5-difluorophenylacetyl)-L-threoninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
40	5-{N'-(3,5-difluorophenylacetyl)-L-alpha-(2-thienyl)glycinyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
45	5-{N'-(2-thiopheneacetyl)-L-methioninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
	5-{N'-(2-thiopheneacetyl)-L-2-phenylglycinyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one

婚姻

1=1

1

125

Fr.

K. .

يأعوا

بخطيرا

Mil (

and pharmaceutically acceptable salts thereof.

add